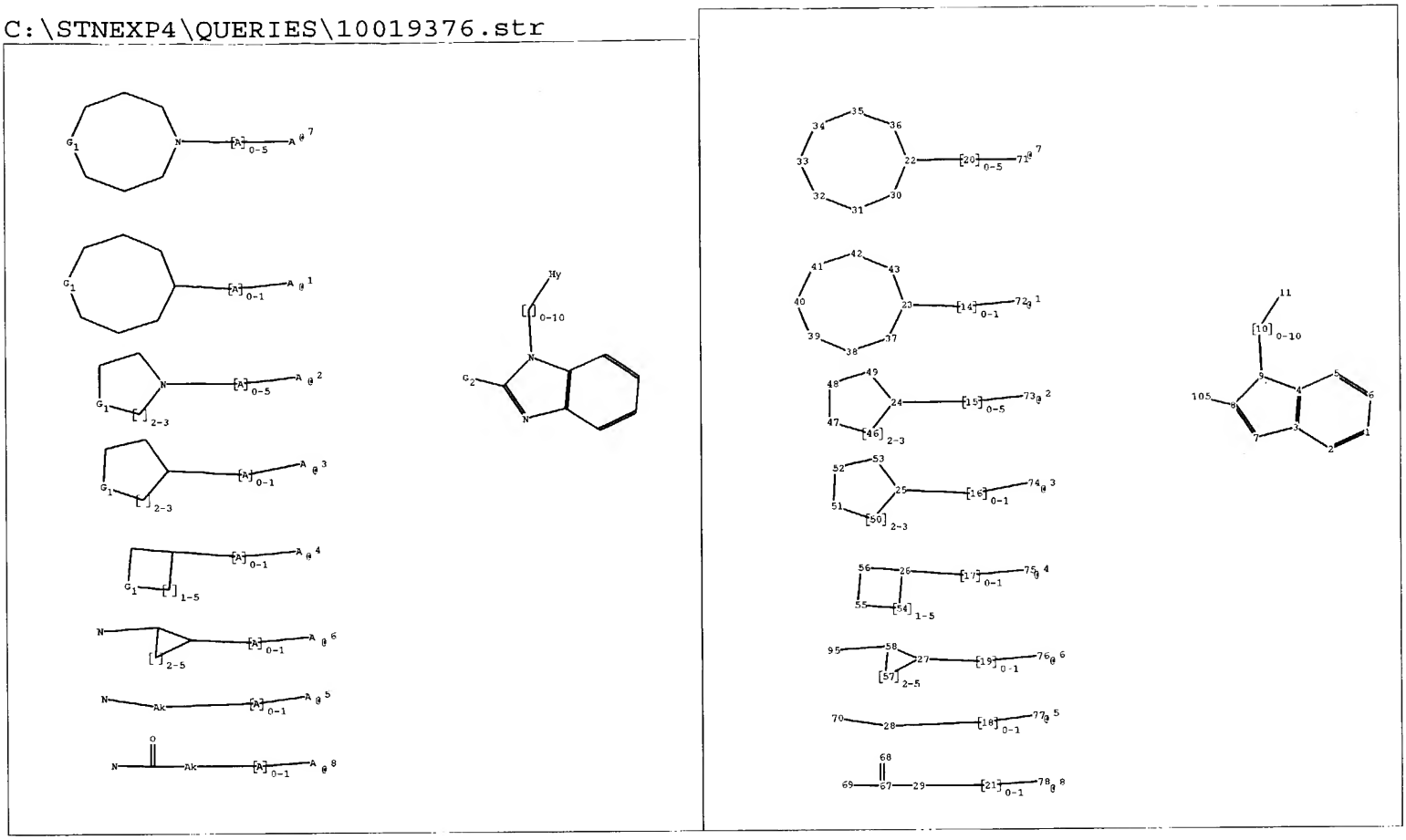
C:\STNEXP4\QUERIES\10019376.str



chain nodes :
10 11 14 15 16 17 18 19 20 21 28 29 67 68 71 72 73 74
75 76 77 78 105
ring nodes :
1 2 3 4 5 6 7 8 9 22 23 24 25 26 27 30 31 32 33 34 35
36 37 38 39 40 41 42 43 46 47 48 49 50 51 52 53 54 55
56 57 58
ring/chain nodes :
69 70 95
chain bonds :
8-105 9-10 10-11 14-23 14-72 15-24 15-73 16-25 16-74 17-26
17-75 18-28 18-77 19-27 19-76 20-22 20-71 21-29 21-78 28-70
29-67 58-95 67-68 67-69
ring bonds :
1-2 1-6 2-3 3-4 3-7 4-5 4-9 5-6 7-8 8-9 22-30 22-36 23-37
23-43 24-46 24-49 25-50 25-53 26-54 26-56 27-57 27-58 30-31
31-32 32-33 33-34 34-35 35-36 37-38 38-39 39-40 40-41 41-42
42-43 46-47 47-48 48-49 50-51 51-52 52-53 54-55 55-56 57-58
exact/norm bonds :
3-7 4-9 7-8 8-9 8-105 9-10 10-11 14-23 14-72 15-24 15-73 16-25
16-74 17-26 17-75 18-28 18-77 19-27 19-76 20-22 20-71 21-29
21-78 22-30 22-36 23-37 23-43 24-46 24-49 25-50 25-53 26-54
26-56 27-57 27-58 28-70 29-67 30-31 31-32 32-33 33-34 34-35
35-36 37-38 38-39 39-40 40-41 41-42 42-43 46-47 47-48 48-49
50-51 51-52 52-53 54-55 55-56 57-58 58-95 67-68 67-69
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:C,N

G2:Cy,[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:CLASS 11:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom
27:Atom 28:CLASS 29:CLASS 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom
35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom 42:Atom
43:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom
53:Atom 54:Atom 55:Atom 56:Atom 57:Atom 58:Atom 67:CLASS 68:CLASS
69:CLASS 70:CLASS 71:CLASS 72:CLASS 73:CLASS 74:CLASS 75:CLASS
76:CLASS 77:CLASS 78:CLASS 95:CLASS 105:CLASS
Generic attributes :
11:
Type of Ring System : Polycyclic

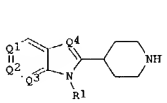
```
=> d his

     (FILE 'HOME' ENTERED AT 14:45:41 ON 20 MAR 2004)

     FILE 'REGISTRY' ENTERED AT 14:45:59 ON 20 MAR 2004
               ACTIVATE JANS10019376/A
              ---------
L1             STR
L2   (    197134)SEA FILE=REGISTRY ABB=ON  PLU=ON  333.401/RID
L3          1773 SEA FILE=REGISTRY SUB=L2 SSS FUL L1
              ---------

     FILE 'CAPLUS' ENTERED AT 14:46:55 ON 20 MAR 2004
L4           342 S L3
L5           165 S L4 AND PATENT/DT
L6           177 S L4 NOT L5

     FILE 'STNGUIDE' ENTERED AT 14:49:01 ON 20 MAR 2004

     FILE 'REGISTRY' ENTERED AT 14:58:43 ON 20 MAR 2004
L7             STRUCTURE UPLOADED
L8             QUE L7
L9             2 S L8
L10           23 S L9  SUB=L3 SAM
L11          583 S L9  SUB=L3 FUL

     FILE 'CAPLUS' ENTERED AT 15:00:05 ON 20 MAR 2004
L12          107 S L11
L13          ANALYZE L12 1- RN HIT :     527 TERMS

     FILE 'REGISTRY' ENTERED AT 15:00:33 ON 20 MAR 2004
L14          100 S 164917?/RN
L15           99 S 137744?/RN
L16          100 S 145950?/RN
L17          100 S 156906?/RN
L18          100 S 164917?/RN
L19          100 S 172423?/RN
L20          100 S 332171?/RN
L21         1068 S 41383?/RN
L22          100 S 102948?/RN
L23           18 S L11 AND L14
L24            2 S L11 AND L15
L25            1 S L11 AND L16
L26            8 S L11 AND L17
L27           18 S L11 AND L18
L28            1 S L11 AND L19
L29           17 S L11 AND L20
L30            1 S L11 AND L21
L31            1 S L11 AND L22
L32          534 S L11 NOT (L23 OR L24 OR L25 OR L26 OR L27 OR L28 OR L29 OR L30

     FILE 'CAPLUS' ENTERED AT 15:04:20 ON 20 MAR 2004
L33           87 S L32

     FILE 'REGISTRY' ENTERED AT 15:05:25 ON 20 MAR 2004
L34            STRUCTURE UPLOADED
L35            QUE L34
L36          117 S L35  SUB=L11 FUL
```

```
L37          466 S L11 NOT L36

     FILE 'CAPLUS' ENTERED AT 15:09:22 ON 20 MAR 2004
L38           69 S L37

     FILE 'REGISTRY' ENTERED AT 15:09:30 ON 20 MAR 2004
L39          451 S L37 NOT (L23 OR L24 OR L25 OR L26 OR L27 OR L28 OR L29 OR L30
L40           71 S L39 AND 2-PYRID?
L41            1 S L40 AND C25 H25 N7/MF
L42            1 S L40 AND C26 H24 F3 N7/MF
L43            1 S L40 AND C27 H25 CL F3 N7/MF
L44           68 S L40 NOT (L41 OR L42 OR L43)
L45          383 S L39 NOT L44

     FILE 'CAPLUS' ENTERED AT 15:15:24 ON 20 MAR 2004
L46           52 S L45
L47          ANALYZE L46 1- RN HIT :     347 TERMS

     FILE 'REGISTRY' ENTERED AT 15:15:58 ON 20 MAR 2004
L48          100 S 124337?/RN
L49          100 S 150452?/RN
L50         1098 S 63822?/RN
L51          100 S 99963?/RN
L52          100 S 105594?/RN
L53          100 S 122240?/RN
L54          100 S 122685?/RN
L55            1 S L45 AND L48
L56            3 S L45 AND L49
L57            1 S L45 AND L50
L58            1 S L45 AND L51
L59            1 S L45 AND L52
L60            2 S L45 AND L53
L61            1 S L45 AND L54
L62            2 S L56 NOT C18 H13 CL N4 O2/MF
L63          375 S L45 NOT (L55 OR L62 OR L57 OR L59 OR L60 OR L61)

     FILE 'CAPLUS' ENTERED AT 15:19:42 ON 20 MAR 2004
L64           45 S L63

     FILE 'REGISTRY' ENTERED AT 15:20:31 ON 20 MAR 2004
L65            2 S L63 AND COBALT
L66            0 S L63 AND IRON
L67            0 S L63 AND COPPER
L68            2 S L63 AND FER?
L69          371 S L63 NOT (L65 OR L68)

     FILE 'CAPLUS' ENTERED AT 15:22:12 ON 20 MAR 2004
L70           43 S L69

=> d ibib abs hitstr 1-43
```

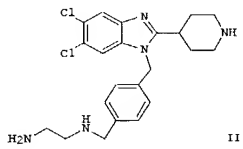
L70 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:633695 CAPLUS
DOCUMENT NUMBER: 139:180062
TITLE: Preparation of novel benzimidazole compounds as antibacterial agents
INVENTOR(S): Swayze, Eric E.; He, Yun; Seth, Punit P.; Jefferson, Elizabeth Anne
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003066622 | A1 | 20030814 | WO 2003-US3590 | 20030206 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003187258 | A1 | 20031002 | US 2002-71978 | 20020206 |

PRIORITY APPLN. INFO.: US 2002-71978 A 20020206
OTHER SOURCE(S): MARPAT 139:180062
GI



I



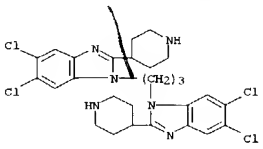
II

AB Novel benzimidazole derivs. of formula I [R1 = H, alkyl, aryl, arylalkyl, heteroaryl, arylsulfonyl, aryloxycarbonyl, etc.; Q1-Q3 = N, (substituted) CH; Q4 = N, S] are prepared that possess antibacterial activity. The invention also is directed to compns. including the benzimidazole derivs., and methods for using the same. Thus, II was prepared starting from

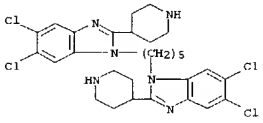
L70 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
4,5-dichloro-1,2-phenylenediamine and N-BOC-isonipecotic acid, and had an MIC of 6-12 μM against S. aureus and 12-25 μM against E. coli.

IT **578708-34-6P 578708-35-7P 578708-36-8P**
**578708-39-1P 578708-42-6P 578708-43-7P**
**578708-45-9P 578708-46-0P 578708-47-1P**
**578708-48-2P 578708-49-3P 578708-50-6P**
**578708-51-7P 578708-54-0P 578709-25-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole compds. as antibacterial agents)

RN 578708-34-6 CAPLUS
CN 1H-Benzimidazole, 1,1'-(1,3-propanediyl)bis[5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

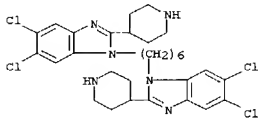


RN 578708-35-7 CAPLUS
CN 1H-Benzimidazole, 1,1'-(1,5-pentanediyl)bis[5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

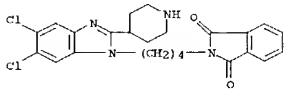


RN 578708-36-8 CAPLUS
CN 1H-Benzimidazole, 1,1'-(1,6-hexanediyl)bis[5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

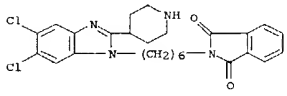
L70 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



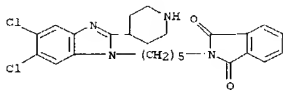
RN 578708-39-1 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[4-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]butyl]- (9CI) (CA INDEX NAME)



RN 578708-42-6 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[6-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]hexyl]- (9CI) (CA INDEX NAME)

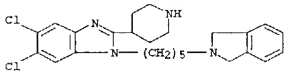


RN 578708-43-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)

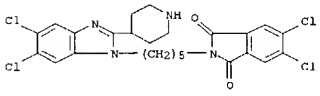


RN 578708-45-9 CAPLUS
CN 1H-Benzimidazole, 5,6-dichloro-1-[5-(1,3-dihydro-2H-isoindol-2-yl)pentyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

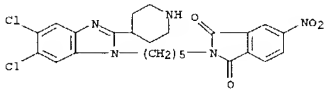
L70 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



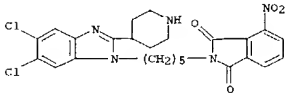
RN 578708-46-0 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5,6-dichloro-2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl] (9CI) (CA INDEX NAME)



RN 578708-47-1 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]-5-nitro- (9CI) (CA INDEX NAME)

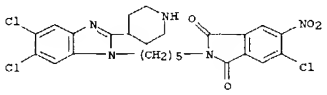


RN 578708-48-2 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]-4-nitro- (9CI) (CA INDEX NAME)

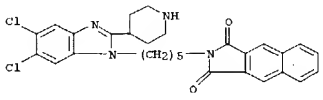


RN 578708-49-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-chloro-2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]-6-nitro- (9CI) (CA INDEX NAME)

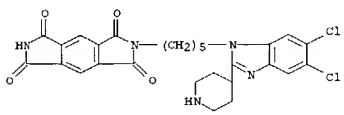
L70 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



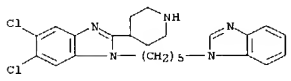
RN 578708-50-6 CAPLUS
CN 1H-Benz[f]isoindole-1,3(2H)-dione, 2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)



RN 578708-51-7 CAPLUS
CN Benzo[1,2-c:4,5-c']dipyrrole-1,3,5,7(2H,6H)-tetrone, 2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)

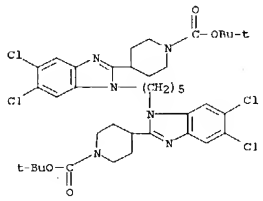


RN 578708-54-0 CAPLUS
CN 1H-Benzimidazole, 1-[5-(1H-benzimidazol-1-yl)pentyl]-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

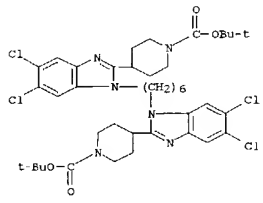


RN 578709-25-8 CAPLUS
CN 1H-Benzimidazole, 5,6-dichloro-1-[(5-chlorobenzo[b]thien-3-yl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L70 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

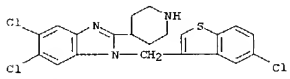


RN 578709-54-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4,4'-[1,6-hexanediylbis(5,6-dichloro-1H-benzimidazole-1,2-diyl)]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



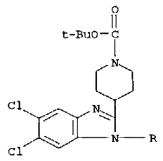
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

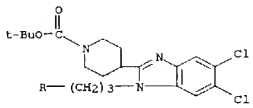


IT **578709-52-1P 578709-53-2P 578709-54-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazole compds. as antibacterial agents)
RN 578709-52-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4,4'-[1,3-propanediylbis(5,6-dichloro-1H-benzimidazole-1,2-diyl)]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



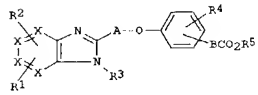
RN 578709-53-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4,4'-[1,5-pentanediylbis(5,6-dichloro-1H-benzimidazole-1,2-diyl)]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

L70 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:223754 CAPLUS
DOCUMENT NUMBER: 138:238186
TITLE: Preparation of imidazolylalkoxybenzoic and imidazolylalkoxyarylalkanoic derivatives for treatment of hyperglycemia-related disorders
INVENTOR(S): Moinet, Gerard; Correc, Jean Claude; Metais, Eric
PATENT ASSIGNEE(S): Lipha, Fr.
SOURCE: Fr. Demande, 102 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 2829765 | A1 | 20030321 | FR 2001-11952 | 20010914 |
| WO 2003024937 | A1 | 20030327 | WO 2002-EP9832 | 20020903 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: FR 2001-11952 A 20010914
OTHER SOURCE(S): MARPAT 138:238186
GI



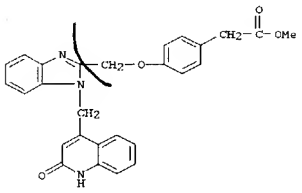
I

AB The invention relates to imidazolylalkoxybenzoic and imidazolylalkoxyarylalkanoic derivs. (shown as I; variables defined below; e.g. 4-(1-benzyl-5,6-dimethylbenzimidazol-2-ylmethoxy)phenylacetic acid), methods for preparing them and their use in treatment of pathologies associated with hyperglycemia. For I: X = C, N, O or S; R1, R2, R3, R4 and R5 = H, alkyl ((un)substituted C1-C20); alkylene ((un)substituted C2-C20), cycloalkyl ((un)substituted C3-C8), heterocycloalkyl ((un)substituted C3-C8), (un)substituted aryl (C6-C14) alkyl (C1-C20), (un)substituted aryl (C6-C14), (un)substituted heteroaryl (C1-C13); A = (un)substituted alkyl (C1-C6); B = simple bond or (un)substituted alkyl (C1-C6); with various provisos listed in the claims. The percentage reductions of glycemia in rats by 7 examples of I at 200 mg/kg after 4 days are 13-22 and for 4 examples

L70 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
of I at 20 mg/kg are 13-14; for example, 14% at 20 mg/kg for 4-(1-benzyl-5,6-dimethylbenzimidazol-2-ylmethoxy)phenylacetic acid. Two example prepns. of I are included and mass spectral characterization data are provided for .apprx.400 examples of I. For example, 3-[1-(2-chloro-4-fluorophenylmethyl)-2-benzimidazolyl]methoxyphenylacetic acid was prepd. in 3 steps via the following intermediates: the sodium salt of Me 3-(2-benzimidazolyl)methoxyphenylacetate (67% from Me 3-cyanomethoxybenzoate and 1,2-diaminobenzene dihydrochloride) and Me 3-[1-(2-chloro-4-fluorophenylmethyl)-2-benzimidazolyl]methoxyphenylacetate.

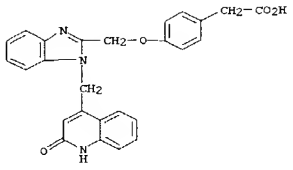
IT **502178-03-2P**, Methyl 4-[(1-((1,2-dihydro-2-oxoquinolin-4-yl)methyl)benzimidazol-2-yl)methoxy]benzeneacetate **502178-46-3P**, 4-[(1-((1,2-Dihydro-2-oxoquinolin-4-yl)methyl)benzimidazol-2-yl)methoxy]benzeneacetic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazolylalkoxyarylalkanoic derivs. for treatment of hyperglycemia-related disorders)

RN 502178-03-2 CAPLUS
CN Benzeneacetic acid, 4-[[1-[(1,2-dihydro-2-oxo-4-quinolinyl)methyl]-1H-benzimidazol-2-yl]methoxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 502178-46-3 CAPLUS
CN Benzeneacetic acid, 4-[[1-[(1,2-dihydro-2-oxo-4-quinolinyl)methyl]-1H-benzimidazol-2-yl]methoxy]- (9CI) (CA INDEX NAME)

L70 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

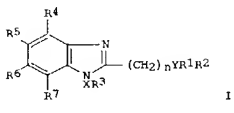


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:888718 CAPLUS
DOCUMENT NUMBER: 137:384842
TITLE: Benzimidazole compounds and antiviral uses thereof
INVENTOR(S): Lackey, John William; Kinder, Daniel S.; Tvermoes, Nicolai A.
PATENT ASSIGNEE(S): Trimeris, Inc., USA
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002092575 | A1 | 20021121 | WO 2002-US14598 | 20020510 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003119754 | A1 | 20030626 | US 2002-141839 | 20020509 |

PRIORITY APPLN. INFO.: US 2001-290385P P 20010511
OTHER SOURCE(S): MARPAT 137:384842
GI



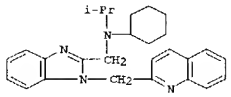
I

AB Title compds. I [R1, R2 = H, (un)substituted alkyl, cycloalkyl, heterocyclic, aryl, heteroaryl; R3 = H, halo, (un)substituted alkyl, Oh, alkoxy, aryl, heterocyclic, heteroaryl; R4-R7 = H, halo, (un)substituted alkyl, OH, alkoxy, aryl, heterocyclic, heteroaryl; X = bond, (un)substituted alkylene, C:N, CO, P, S; Y = N, P, O, S; when Y = O, S, R2 is absent; n = 0-4] were prepared for use as virucides that inhibit membrane fusion associated events such as viral transmission, reduce viral load or otherwise treat viral infections, particularly that caused by Respiratory Syncytial Virus. Thus, I [R1 = cyclohexyl, R2 = CHMe2, Y = N, X = CH2, R3 = 2-quinolinyl, R4-R7 = H] had IC50 of 5.16 μg/mL.

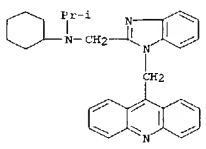
IT **475646-61-8P 475646-70-9P 475646-71-0P**
**475646-86-7P 475646-95-8P 475647-09-7P**
**475647-13-3P 475647-22-4P 475647-29-1P**
**475647-30-4P 475647-33-7P 475647-34-8P**
**475647-39-3P 475647-41-7P 475647-48-4P**
**475647-53-1P 475647-65-5P 475647-69-9P**
**475647-72-4P 475647-75-7P 475647-76-8P**

L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
**475647-77-9P 475647-81-5P 475647-84-8P**
**475647-87-1P 475647-89-3P 475647-92-8P**
**475647-93-9P 475648-00-1P 475648-03-4P**
**475648-04-5P 475648-06-7P 475648-10-3P**
**475648-11-4P 475648-12-5P 475648-17-0P**
**475648-19-2P 475648-20-5P 475648-22-7P**
**475648-24-9P 475648-25-0P 475648-26-1P**
**475648-27-2P 475648-28-3P 475648-29-4P**
**475648-30-7P 475648-31-8P 475648-32-9P**
**475648-33-0P 475648-35-2P 475648-36-3P**
**475648-39-6P 475648-40-9P 475648-41-0P**
**475648-42-1P 475648-43-2P 475648-44-3P**
**475648-45-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazole derivs. as virucides for treating Respiratory Syncytial Virus infections)

RN 475646-61-8 CAPLUS
CN 1H-Benzimidazole-2-methanamine, N-cyclohexyl-N-(1-methylethyl)-1-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)

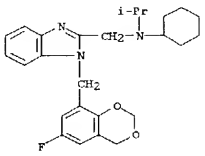


RN 475646-70-9 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(9-acridinylmethyl)-N-cyclohexyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

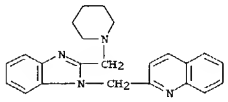


RN 475646-71-0 CAPLUS
CN 1H-Benzimidazole-2-methanamine, N-cyclohexyl-1-[(6-fluoro-4H-1,3-benzodioxin-8-yl)methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

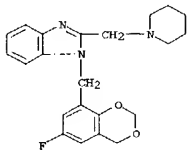
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 475646-86-7 CAPLUS
CN Quinoline, 2-[[2-(1-piperidinylmethyl)-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

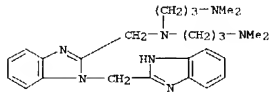


RN 475646-95-8 CAPLUS
CN 1H-Benzimidazole, 1-[(6-fluoro-4H-1,3-benzodioxin-8-yl)methyl]-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)

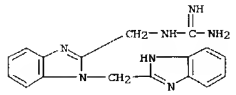


RN 475647-09-7 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-methyl-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

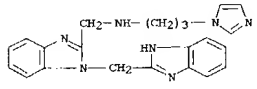
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



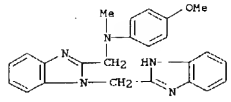
RN 475647-33-7 CAPLUS
CN Guanidine, [[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



RN 475647-34-8 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)

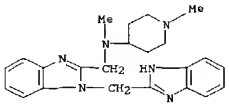


RN 475647-39-3 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)

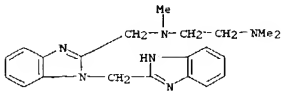


RN 475647-41-7 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-[3-(4-methyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

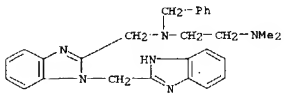
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



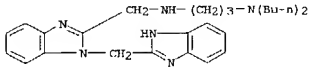
RN 475647-13-3 CAPLUS
CN 1,2-Ethanediamine, N-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-N,N',N'-trimethyl- (9CI) (CA INDEX NAME)



RN 475647-22-4 CAPLUS
CN 1,2-Ethanediamine, N-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-N',N'-dimethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

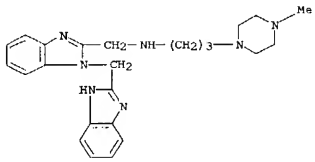


RN 475647-29-1 CAPLUS
CN 1,3-Propanediamine, N'-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-N,N-dibutyl- (9CI) (CA INDEX NAME)

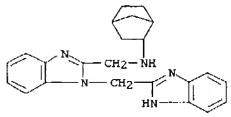


RN 475647-30-4 CAPLUS
CN 1,3-Propanediamine, N-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-N-[3-(dimethylamino)propyl]-N',N'-dimethyl- (9CI) (CA INDEX NAME)

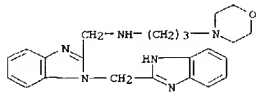
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 475647-48-4 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-bicyclo[2.2.1]hept-2-yl- (9CI) (CA INDEX NAME)

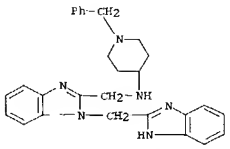


RN 475647-53-1 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

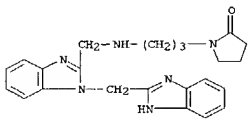


RN 475647-65-5 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

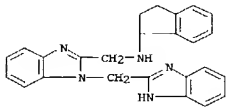
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 475647-69-9 CAPLUS
CN 2-Pyrrolidinone, 1-[3-[[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]amino]propyl]- (9CI) (CA INDEX NAME)

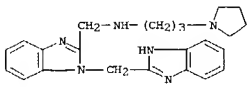


RN 475647-72-4 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-(2,3-dihydro-1H-inden-1-yl)- (9CI) (CA INDEX NAME)

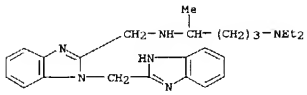


RN 475647-75-7 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

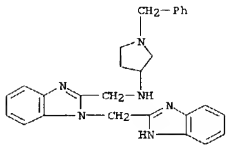
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



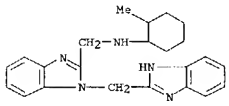
RN 475647-76-8 CAPLUS
CN 1,4-Pentanediamine, N4-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-N1,N1-diethyl- (9CI) (CA INDEX NAME)



RN 475647-77-9 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-[1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

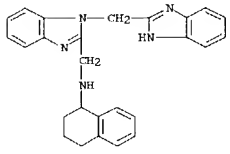


RN 475647-81-5 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-(2-methylcyclohexyl)- (9CI) (CA INDEX NAME)

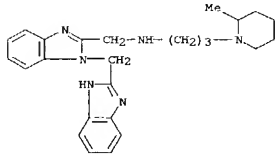


L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

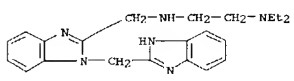
RN 475647-84-8 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 475647-87-1 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-[3-(2-methyl-1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

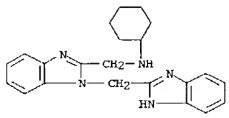


RN 475647-89-3 CAPLUS
CN 1,2-Ethanediamine, N'-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

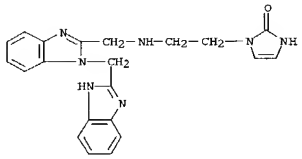


RN 475647-92-8 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-cyclohexyl- (9CI) (CA INDEX NAME)

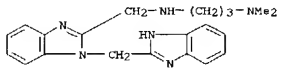
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



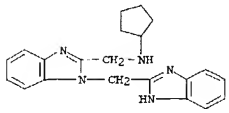
RN 475647-93-9 CAPLUS
CN 2H-Imidazol-2-one, 1-[2-[[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]amino]ethyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



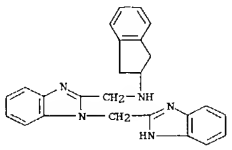
RN 475648-00-1 CAPLUS
CN 1,3-Propanediamine, N'-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



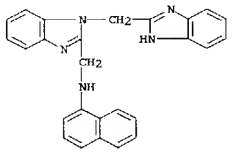
RN 475648-03-4 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-cyclopentyl- (9CI) (CA INDEX NAME)



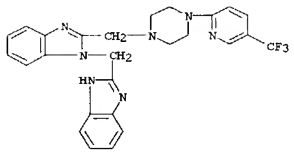
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 475648-04-5 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-(2,3-dihydro-1H-inden-2-yl)- (9CI) (CA INDEX NAME)



RN 475648-06-7 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(1H-benzimidazol-2-ylmethyl)-N-1-naphthalenyl- (9CI) (CA INDEX NAME)

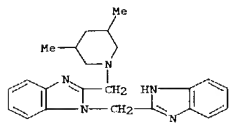


RN 475648-10-3 CAPLUS
CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[[4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

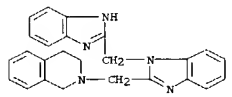


L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

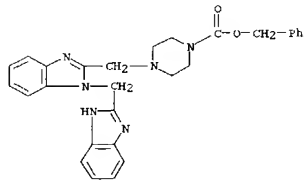
RN 475648-19-2 CAPLUS
CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[(3,5-dimethyl-1-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



RN 475648-20-5 CAPLUS
CN Isoquinoline, 2-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

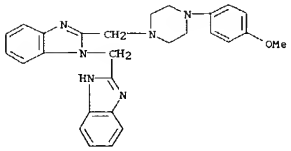


RN 475648-22-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

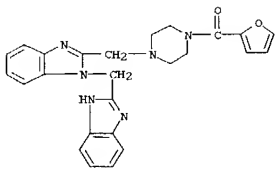


RN 475648-24-9 CAPLUS
CN 2-Piperidinemethanol, 1-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

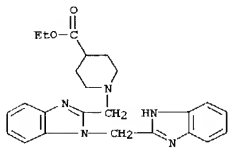
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 475648-11-4 CAPLUS
CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[[4-(4-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



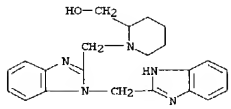
RN 475648-12-5 CAPLUS
CN Piperazine, 1-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-4-(2-furanylcarbonyl)- (9CI) (CA INDEX NAME)



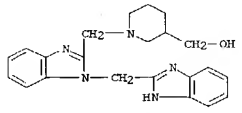
RN 475648-17-0 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



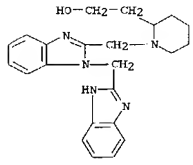
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



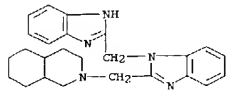
RN 475648-25-0 CAPLUS
CN 3-Piperidinemethanol, 1-[[1-(1H-benzimidazol-2-ylmethyl)-1H benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



RN 475648-26-1 CAPLUS
CN 2-Piperidineethanol, 1-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

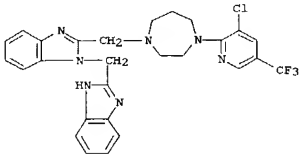


RN 475648-27-2 CAPLUS
CN Isoquinoline, 2-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]decahydro- (9CI) (CA INDEX NAME)

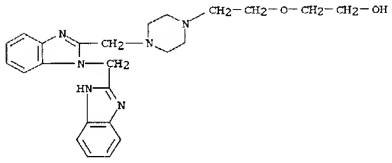


L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 475648-28-3 CAPLUS
CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[[4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]hexahydro-1H-1,4-diazepin-1-yl]methyl]- (9CI) (CA INDEX NAME)

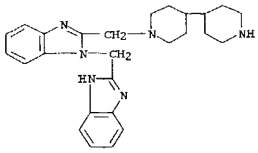


RN 475648-29-4 CAPLUS
CN Ethanol, 2-[2-[4-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

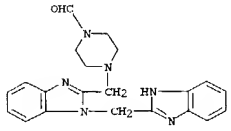


RN 475648-30-7 CAPLUS
CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-([4,4'-bipiperidin]-1-ylmethyl)- (9CI) (CA INDEX NAME)

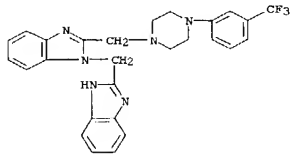
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 475648-31-8 CAPLUS
CN 1-Piperazinecarboxaldehyde, 4-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

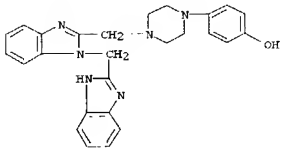


RN 475648-32-9 CAPLUS
CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

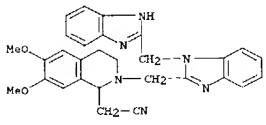


RN 475648-33-0 CAPLUS
CN Phenol, 4-[4-[[1-(1H-benzimidazol-2-ylmethyl)-1H benzimidazol-2-yl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

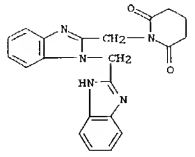
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 475648-35-2 CAPLUS
CN 1-Isoquinolineacetonitrile, 2-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-1,2,3,4-tetrahydro-6,7-dimethoxy- (9CI) (CA INDEX NAME)

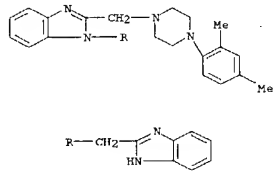


RN 475648-36-3 CAPLUS
CN 2,6-Piperidinedione, 1-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

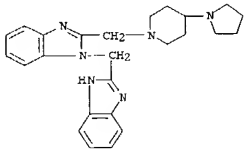


RN 475648-39-6 CAPLUS
CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[[4-(2,4-dimethylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

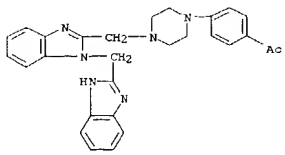
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 475648-40-9 CAPLUS
CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[[4-(1-pyrrolidinyl)-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

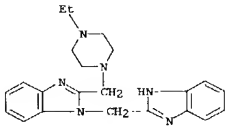


RN 475648-41-0 CAPLUS
CN Ethanone, 1-[4-[4-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

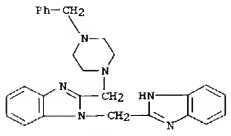


RN 475648-42-1 CAPLUS
CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[(4-ethyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

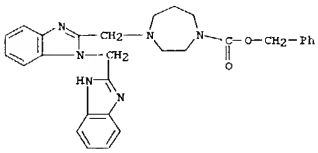
L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 475648-43-2 CAPLUS
CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[[4-(phenylmethyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



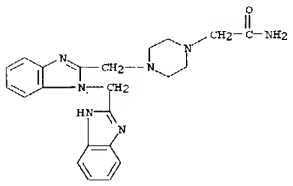
RN 475648-44-3 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]hexahydro-, phenylmethyl ester (9CI) (CA INDEX NAME)



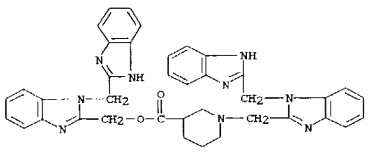
RN 475648-45-4 CAPLUS
CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[(hexahydro-1(2H)-azocinyl)methyl]- (9CI) (CA INDEX NAME)

L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 475649-02-6 CAPLUS
CN 1-Piperazineacetamide, 4-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

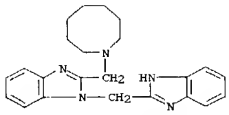


RN 475649-03-7 CAPLUS
CN 3-Piperidinecarboxylic acid, 1-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-, [1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl ester (9CI) (CA INDEX NAME)



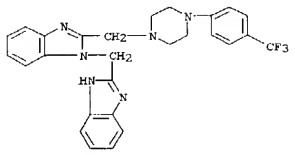
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

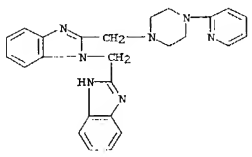


IT **475648-97-6P 475648-98-7P 475649-02-6P**
**475649-03-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole derivs. as virucides for treating Respiratory Syncytial Virus infections)

RN 475648-97-6 CAPLUS
CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[[4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



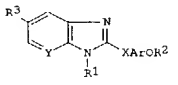
RN 475648-98-7 CAPLUS
CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



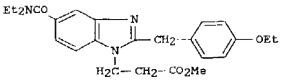
L70 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:832768 CAPLUS
DOCUMENT NUMBER: 137:337892
TITLE: Novel alkoxyarylbenzimidazoles as CB2 receptor agonists
INVENTOR(S): Cheng, Yun-Xing; Tomaszewski, Miroslaw; Walpole, Christopher; Yang, Hua
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002085866 | A1 | 20021031 | WO 2002-SE769 | 20020418 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1390350 | A1 | 20040225 | EP 2002-764120 | 20020418 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| NO 2003004665 | A | 20031210 | NO 2003-4665 | 20031017 |
| PRIORITY APPLN. INFO.: | | | SE 2001-1387 | A 20010420 |
| | | | WO 2002-SE769 | W 20020418 |

OTHER SOURCE(S): MARPAT 137:337892
GI



I



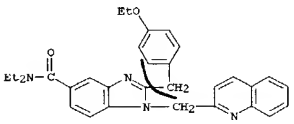
II

AB Title compds. I [R1 = (un)substituted alkyl, alkenyl; R2 = alkyl,

L70 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
fluoroalkyl, cycloalkyl; R3 = (un)substituted H2NCONH, HCONH, HO2CNH, H2NCSNH, HSO2NH, H2NSO2, H2NCH2, H2NCS, H2NCO, NH2, acyl; X = (un)substituted CH2, NH, CO, CH2CH2, CH:CH, O, S, S(O), SO2; Y = CH, N; Ar = (un)substituted aryl] were prepd. as CB2 receptor agonists in the management of pain. Thus, 4,3-F(O2N)C6H3CONH2 was treated with H2NCH2CH2CO2Et followed by redn. of the nitro group and cyclization with 4-EtOC6H4CH2COCl to give the benzimidazole II, formed by transesterification during chromatog. II had Ki for human CB2 receptor binding of 142 nM.

IT **474018-06-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel alkoxyarylbenzimidazoles as CB2 receptor agonists)

RN 474018-06-9 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[(4-ethoxyphenyl)methyl]-N,N-diethyl-1-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)

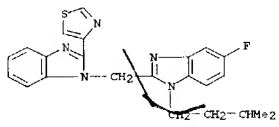


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(un)substituted alkyl; Q = heterocyclic group], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepd. E.g., a four-step synthesis of II, starting with 2-(chloromethyl)benzimidazole, was given. The antiviral activity of these compds. against respiratory syncytial virus (RSV) was detd. in HEp-2 (ATCC CCL 23) cells. The title compds. I, disclosed herein, show antiviral activity with EC50s between 50 μM and 0.001 μM.

IT **443985-64-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and use of heterocyclic substituted 2-methyl-benzimidazole antiviral agents)

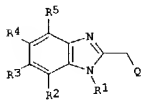
RN 443985-64-6 CAPLUS
CN 1H-Benzimidazole, 5-fluoro-1-(3-methylbutyl)-2-[[2-(4-thiazolyl)-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



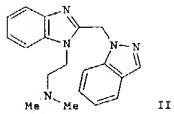
L70 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:556140 CAPLUS
DOCUMENT NUMBER: 137:125159
TITLE: Preparation and antiviral activity of heterocyclic substituted 2-methylbenzimidazole antiviral agents
INVENTOR(S): Yu, Kuo-Long; Civiello, Rita L.; Combrink, Keith D.; Gulgeze, Hatice Belgin; Sin, Ny; Wang, Xiangdong; Meanwell, Nicholas; Venables, Brian Lee; Zhang, Yi; Pearce, Bradley C.; Yin, Zhiwei; Thuring, Jan Willem
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 89 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2002099208 | A1 | 20020725 | US 2001-994012 | 20011116 |
| WO 2002062290 | A2 | 20020815 | WO 2001-US45149 | 20011120 |
| WO 2002062290 | A3 | 20021121 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1343499 | A2 | 20030917 | EP 2001-270116 | 20011120 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

PRIORITY APPLN. INFO.: US 2000-257139P P 20001220
WO 2001-US45149 W 20011120
OTHER SOURCE(S): MARPAT 137:125159
GI



I



II

AB The title compds. [I; R1 = (CRaRb)nX; Ra, Rb = independently H, C1-6 (un)substituted alkyl; X = H, C1-6 (un)substituted alkyl; n = 1-6; R2, R5 = independently H or halogen; R3, R4 = independently H, halogen, C1-6

L70 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:314395 CAPLUS
DOCUMENT NUMBER: 136:335540
TITLE: Use of PDE V inhibitors for improved fecundity in mammals
INVENTOR(S): Westbrook, Simon Lempriere; Zanzinger, Johannes Friedrich
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

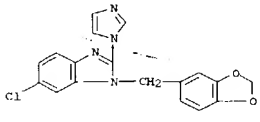
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1199070 | A2 | 20020424 | EP 2001-308684 | 20011011 |
| EP 1199070 | A3 | 20040317 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2003018036 | A1 | 20030123 | US 2001-982445 | 20011018 |
| US 6548508 | B2 | 20030415 | | |
| JP 2002220346 | A2 | 20020809 | JP 2001-322195 | 20011019 |
| ZA 2001008617 | A | 20030422 | ZA 2001-8617 | 20011019 |
| US 20030018037 | A1 | 20030123 | US 2002-229534 | 20020827 |

PRIORITY APPLN. INFO.: GB 2000-25782 A 20001020
US 2000-253338P P 20001128
US 2001-982445 A1 20011018

AB The invention relates to the use of a cyclic guanosine 3',5'-monophosphate phosphodiesterase type five (cGMP PDE V) inhibitor for increasing fecundity in a mammal by one or more of (a) promoting the growth of an oocyte, zygote, blastocyst, embryo and/or fetus, (b) increasing the rate or probability of survival of an embryo and/or fetus and (c) increasing the birth weight of a progeny, or for increasing milk productivity. I.v. and tablet formulations are exemplified. Formulations and packs containing the PDE V inhibitors for pharmaceutical or veterinary use are claimed.

IT **150452-72-5**
RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of PDE V inhibitors for improved fecundity in mammals)

RN 150452-72-5 CAPLUS
CN 1H-Benzimidazole, 1-(1,3-benzodioxol-5-ylmethyl)-6-chloro-2-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)

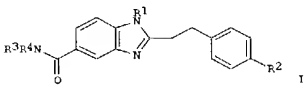


L70 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:136768 CAPLUS
DOCUMENT NUMBER: 134:178557
TITLE: Preparation of 2-(amidinophenylethyl)-1-methylbenzimidazole-5-carboxamides as tryptase inhibitors
INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans; Disse, Bernd; Hoenke, Christoph; Jennewein, Hans Michael; Speck, Georg
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 92 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19939463 | A1 | 20010222 | DE 1999-19939463 | 19990820 |
| US 6512000 | B1 | 20030128 | US 2000-634958 | 20000808 |
| WO 2001014342 | A1 | 20010301 | WO 2000-EP8037 | 20000817 |
| W: AE, AU, BG, BR, CA, CN, CZ, EE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| EP 1210335 | A1 | 20020605 | EP 2000-951526 | 20000817 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY | | | | |
| JP 2003507459 | T2 | 20030225 | JP 2001-518431 | 20000817 |

PRIORITY APPLN. INFO.: DE 1999-19939463 A 19990820
US 1999-153423P P 19990910
WO 2000-EP8037 W 20000817

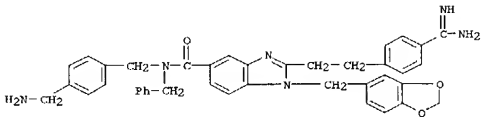
OTHER SOURCE(S): MARPAT 134:178557
GI



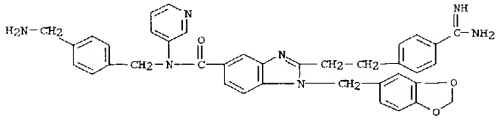
I

AB Use of title compds. [I; R1 = (substituted) alkyl, phenylalkyl, heterocyclyl, heterocyclylalkyl; R2 = C(:NH)NH2, CH2NH2; R3, R4 = H, (substituted) alkyl, phenylalkyl, heterocyclyl, heterocyclylalkyl, cycloalkyl, naphthyl, Ph; R3R4N = (substituted) heterocyclyl], for treatment/prevention of diseases in which tryptase inhibition is of benefit, was claimed. Thus, 2-[2-(4-cyanophenylethyl)]-1-methylbenzimidazol-5-ylcarboxylic acid (preparation given), N-(4-cyanobenzyl)-N-ethoxycarbonylmethylamine, NMM, and TBTU were stirred together in DMF for 16 h at room temperature to give 2-[2-(4-cyanophenylethyl)]-

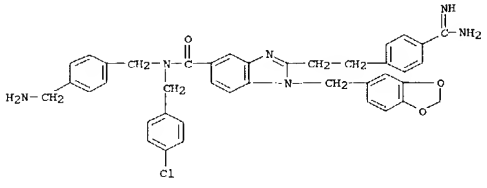
L70 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 326860-96-2 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-N-[[4-(aminomethyl)phenyl]methyl]-1-(1,3-benzodioxol-5-ylmethyl) N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 326861-25-0 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-N-[[4-(aminomethyl)phenyl]methyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-3-pyridinyl- (9CI) (CA INDEX NAME)

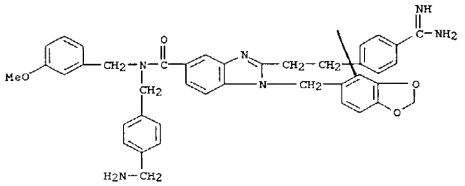


RN 326861-41-0 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-N-[[4-(aminomethyl)phenyl]methyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)

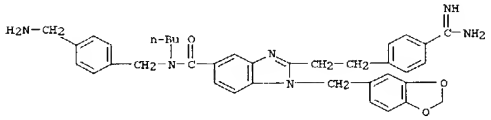


RN 326861-56-7 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-N-[[4-(aminomethyl)phenyl]methyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-[(3-

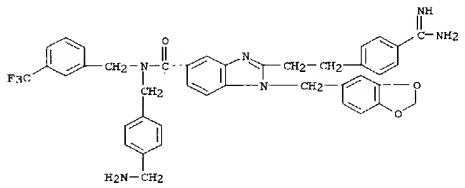
L70 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1-methylbenzimidazol-5-yl-N-(4-cyanobenzyl)-N-(ethoxycarbonylmethyl)amide, which was treated with NH3 to give 89% 2-[2-(4-amidinophenylethyl)]-1-methylbenzimidazol-5-yl-N-(4-amidinobenzyl)-N-(ethoxycarbonylmethyl)amide. I at 10 μM inhibited tryptase by 51-77%. I may be prepd. by solid phase synthesis.
IT **326860-79-1P 326860-85-9P 326860-96-2P**
**326861-25-0P 326861-41-0P 326861-56-7P**
**326861-92-1P 326862-08-2P 326862-22-0P**
**326862-42-4P 326862-76-4P 326862-92-4P**
**326863-08-5P 326863-24-5P 326863-42-7P**
**326863-74-5P 326863-90-5P 326864-03-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (amidinophenylethyl)methylbenzimidazolecarboxamides as tryptase inhibitors)
RN 326860-79-1 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-N-[[4-(aminomethyl)phenyl]methyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-[(3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



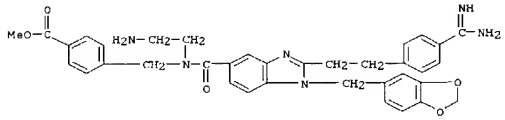
RN 326860-85-9 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-N-[[4-(aminomethyl)phenyl]methyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-butyl- (9CI) (CA INDEX NAME)



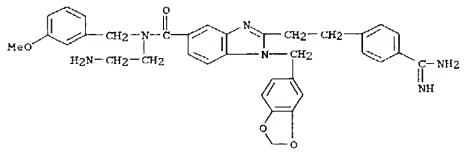
L70 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 326861-92-1 CAPLUS
CN Benzoic acid, 4-[[(2-aminoethyl)[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-(1,3-benzodioxol-5-ylmethyl)-1H-benzimidazol-5-yl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

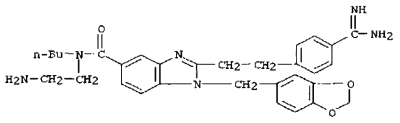


RN 326862-08-2 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(2-aminoethyl)-2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-[(3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

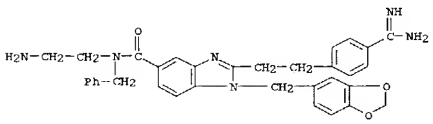


RN 326862-22-0 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(2-aminoethyl)-2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-(1,3-benzodioxol-5-ylmethyl) N-butyl-

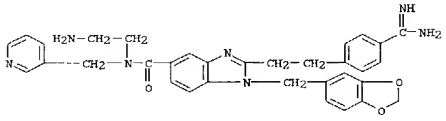
L70 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



RN 326862-42-4 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(2-aminoethyl)-2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

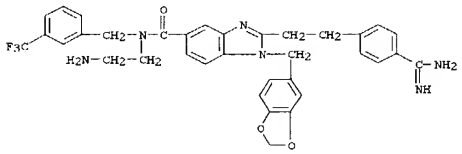


RN 326862-76-4 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(2-aminoethyl)-2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

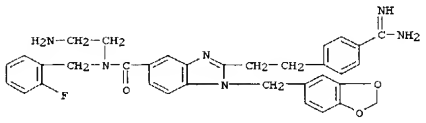


RN 326862-92-4 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(2-aminoethyl)-2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)

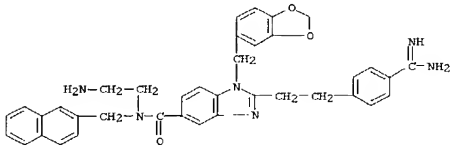
L70 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 326863-74-5 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(2-aminoethyl)-2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-[(2-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

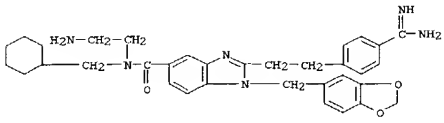


RN 326863-90-5 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(2-aminoethyl)-2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-(2-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

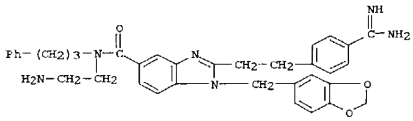


RN 326864-03-3 CAPLUS
CN Benzoic acid, 4-[[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-(1,3-benzodioxol-5-ylmethyl)-1H-benzimidazol-5-yl]carbonyl][[4-(aminomethyl)phenyl]methyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

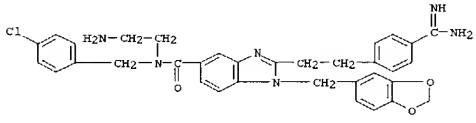
L70 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 326863-08-5 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(2-aminoethyl)-2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

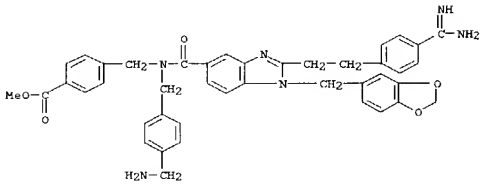


RN 326863-24-5 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(2-aminoethyl)-2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 326863-42-7 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(2-aminoethyl)-2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-(1,3-benzodioxol-5-ylmethyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

L70 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

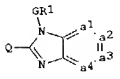


L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:12448 CAPLUS
DOCUMENT NUMBER: 134:86251
TITLE: Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.
INVENTOR(S): Janssens, Frans Eduard; Lacrampe, Jean Fernand Armand; Guillemont, Jerome Emile Georges; Venet, Marc Gaston; Andries, Koenraad Jozef Lodewijk Marcel
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001000615 | A1 | 20010104 | WO 2000-EP5677 | 20000620 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| BR 2000011997 | A | 20020305 | BR 2000-11997 | 20000620 |
| EP 1196410 | A1 | 20020417 | EP 2000-936899 | 20000620 |
| EP 1196410 | B1 | 20040218 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2003503403 | T2 | 20030128 | JP 2001-507023 | 20000620 |
| EE 200100694 | A | 20030217 | EE 2001-694 | 20000620 |
| HR 2001000934 | A1 | 20030630 | HR 2001-934 | 20011219 |
| ZA 2001010473 | A | 20030320 | ZA 2001-10473 | 20011220 |
| NO 2001006370 | A | 20011227 | NO 2001-6370 | 20011227 |
| BG 106288 | A | 20021031 | BG 2002-106288 | 20020108 |
| PRIORITY APPLN. INFO.: | | | EP 1999-202089 A | 19990628 |
| | | | WO 2000-EP5677 W | 20000620 |

OTHER SOURCE(S): MARPAT 134:86251
GI



I

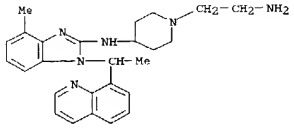
AB Title compds. [I; a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH; CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1, R2R4NCOAX1, specified

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

**317588-30-0P 317588-34-4P 317588-39-9P**
**317588-47-9P 317588-56-0P 317588-66-2P**
**317588-71-9P 317588-80-0P 317588-89-9P**
**317588-93-5P 317588-98-0P 317589-03-0P**
**317589-08-5P 317589-12-1P 317589-16-5P**
**317589-20-1P 317589-30-3P 317589-34-7P**
**317589-39-2P 317589-43-8P 317589-47-2P**
**317589-52-9P 317589-57-4P 317589-62-1P**
**317589-67-6P 317589-71-2P 317589-76-7P**
**317589-80-3P 317589-85-8P 317590-01-5P**
**317590-05-9P 317590-10-6P 317590-15-1P**
**317590-34-4P 317590-38-8P 317590-47-9P**
**317590-56-0P 317590-64-0P 317590-75-3P**
**317590-79-7P 317590-84-4P 317590-89-9P**
**317590-93-5P 317590-97-9P 317591-07-4P**
**317591-12-1P 317591-17-6P 317591-31-4P**
**317591-35-8P 317591-40-5P 317591-45-0P**
**317591-49-4P 317591-54-1P 317591-58-5P**
**317591-63-2P 317591-68-7P 317591-72-3P**
**317591-77-8P 317591-86-9P 317591-91-6P**
**317592-00-0P 317592-05-5P 317592-10-2P**
**317592-15-7P 317592-19-1P 317592-25-9P**
**317592-29-3P 317592-33-9P 317592-38-4P**
**317592-42-0P 317592-47-5P 317592-53-3P**
**317592-58-8P 317592-64-6P 317592-69-1P**
**317592-77-1P 317592-81-7P 317592-86-2P**
**317592-91-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317585-54-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-4-methyl-1-[1-(8-quinolinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 317585-60-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-(1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-bromo-5,6,7,8-tetrahydro-8-quinolinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

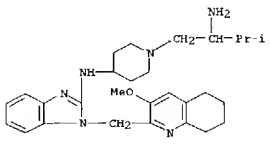
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

(substituted) (hetero)cycles; A = (substituted) alkylene; X1 = imino, S, SO, SO2, O, CH2, CO, CH(OH), etc.; R1 = (substituted) bicyclic heterocycle; G = bond, (substituted) alkylene; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, etc.; R4 = H, alkyl, aralkyl], were prepd. Thus, 1-[4-[[1-(2-quinolylmethyl)-1H-benzimidazol-2 yl]amino]-1-piperidinyl]-3-methyl-2-butanone was hydrogenated with PhCH2NH2 in MeOH over Pd/C to give N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-quinolylmethyl)-1H-benzimidazol-2-amine and N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(1,2,3,4-tetrahydro-2-quinolyl)methyl]-1H-benzimidazol-2-amine tetrahydrochloride. Tested I inhibited respiratory syncytial virus replication with IC50 = 0.0004-1.5849 μM.

IT **317589-25-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

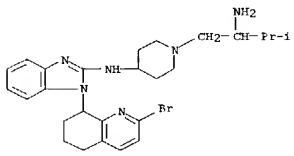
RN 317589-25-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(5,6,7,8-tetrahydro-3-methoxy-2-quinolinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

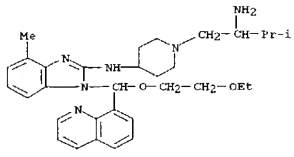
IT **317585-54-9P 317585-60-7P 317585-64-1P**
**317585-69-6P 317585-74-3P 317585-79-8P**
**317585-83-4P 317586-02-0P 317586-05-3P**
**317586-09-7P 317586-19-9P 317586-33-7P**
**317586-40-6P 317586-45-1P 317586-50-8P**
**317586-55-3P 317586-60-0P 317586-65-5P**
**317586-70-2P 317586-82-6P 317586-87-1P**
**317586-92-8P 317586-96-2P 317587-10-3P**
**317587-20-5P 317587-25-0P 317587-37-4P**
**317587-42-1P 317587-47-6P 317587-52-3P**
**317587-57-8P 317587-61-4P 317587-66-9P**
**317587-70-5P 317587-75-0P 317587-80-7P**
**317587-85-2P 317587-90-9P 317587-95-4P**
**317587-99-8P 317588-04-8P 317588-08-2P**
**317588-13-9P 317588-18-4P 317588-25-3P**

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

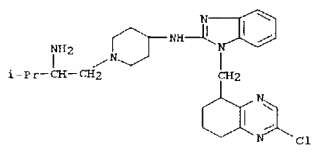


●3 HCl

RN 317585-64-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-4-methyl- (9CI) (CA INDEX NAME)



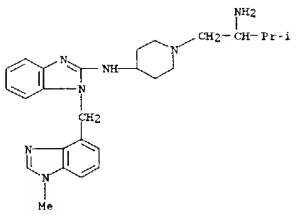
RN 317585-69-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)



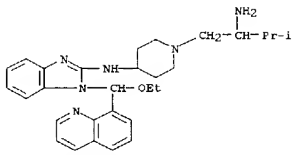
●3 HCl

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 317585-74-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(1-methyl-1H-benzimidazol-4-yl)methyl]- (9CI) (CA INDEX NAME)

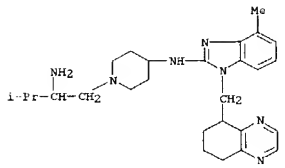


RN 317585-79-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(ethoxy-8-quinolinylmethyl)- (9CI) (CA INDEX NAME)

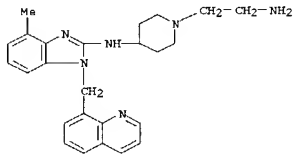


RN 317585-83-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-[(5,6,7,8-tetrahydro-5-quinoxalinyl)methyl]- (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

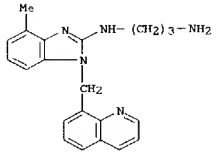


RN 317586-02-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-4-methyl-1-(8-quinolinylmethyl)- (9CI) (CA INDEX NAME)



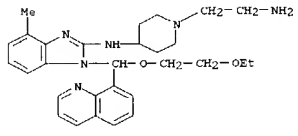
RN 317586-05-3 CAPLUS
CN 1,3-Propanediamine, N-[4-methyl-1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



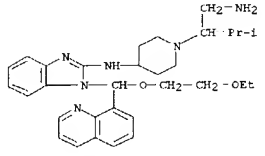
●3 HCl

RN 317586-09-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-4-methyl-, trihydrochloride (9CI) (CA INDEX NAME)



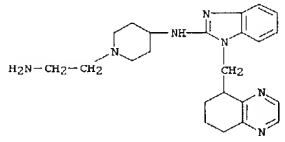
●3 HCl

RN 317586-19-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2-methylpropyl]-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]- (9CI) (CA INDEX NAME)



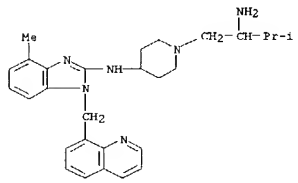
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 317586-33-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl] 1-[(5,6,7,8-tetrahydro-5-quinoxalinyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)



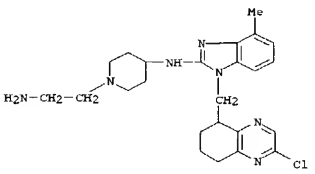
●3 HCl

RN 317586-40-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-(8-quinolinylmethyl) (9CI) (CA INDEX NAME)



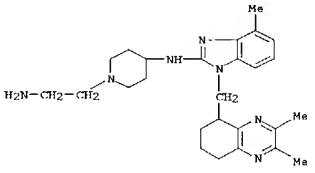
RN 317586-45-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)methyl]-4-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●3 HCl

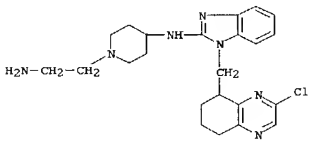
RN 317586-50-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-4-methyl-1-[(5,6,7,8-tetrahydro-2,3-dimethyl-5-quinoxalinyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

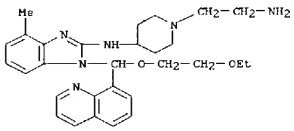
RN 317586-55-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]- (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

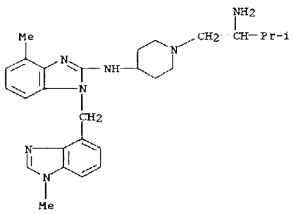


●3 HCl

RN 317586-70-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-4-methyl- (9CI) (CA INDEX NAME)

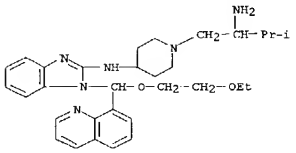


RN 317586-82-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-[(1-methyl-1H-benzimidazol-4-yl)methyl]- (9CI) (CA INDEX NAME)

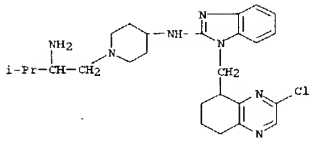


RN 317586-87-1 CAPLUS

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



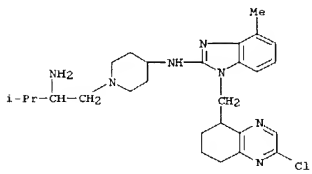
RN 317586-60-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(3-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)



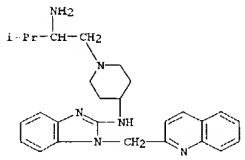
●3 HCl

RN 317586-65-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(3-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)methyl]-4-methyl- (9CI) (CA INDEX NAME)

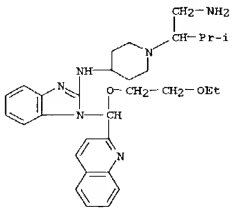


RN 317586-92-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)

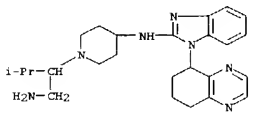


RN 317586-96-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2-methylpropyl]-4-piperidinyl]-1-[(2-ethoxyethoxy)-2-quinolinylmethyl]- (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

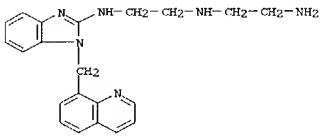


RN 317587-10-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-(1-[1-(aminomethyl)-2-methylpropyl]-4-piperidinyl]-1-(5,6,7,8-tetrahydro-5-quinoxalinyl)- (9CI) (CA INDEX NAME)

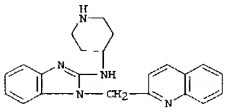


RN 317587-20-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N [1-(2-aminoethyl)-4-piperidinyl]-1-[[3-methyl-2-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-5-yl]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

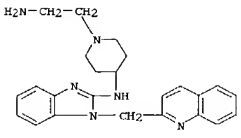


RN 317587-42-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-quinolinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

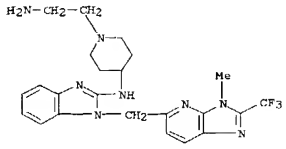
RN 317587-47-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-quinolinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

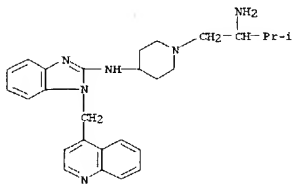
RN 317587-52-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(8-quinolinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●3 HCl

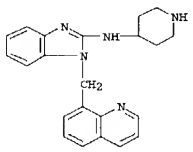
RN 317587-25-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(4-quinolinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

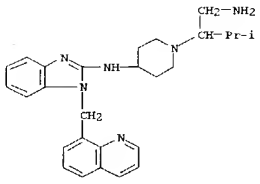
RN 317587-37-4 CAPLUS
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●2 HCl

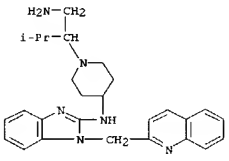
RN 317587-57-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2-methylpropyl]-4-piperidinyl]-1-(8-quinolinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



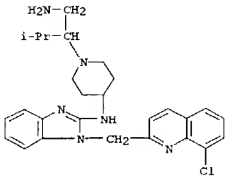
●2 HCl

RN 317587-61-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2-methylpropyl]-4-piperidinyl]-1-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



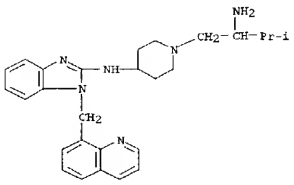
RN 317587-66-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2-methylpropyl]-4-piperidinyl]-1-[(8-chloro-2-quinolinyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



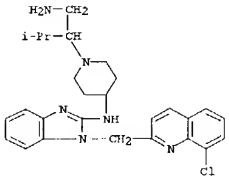
●2 HCl

RN 317587-70-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(8-quinolinylmethyl)- (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

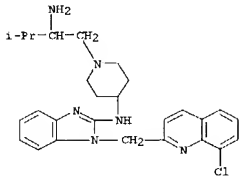


RN 317587-75-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2-methylpropyl]-4-piperidinyl]-1-[(8-chloro-2-quinolinyl)methyl]- (9CI) (CA INDEX NAME)

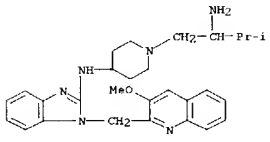


RN 317587-80-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(8-chloro-2-quinolinyl)methyl]- (9CI) (CA INDEX NAME)

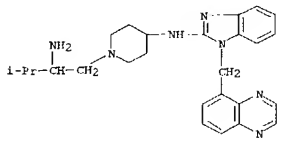
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 317587-85-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(3-methoxy-2-quinolinyl)methyl]- (9CI) (CA INDEX NAME)



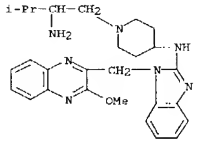
RN 317587-90-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(5-quinoxalinylmethyl)-, trihydrochloride (9CI) (CA INDEX NAME)



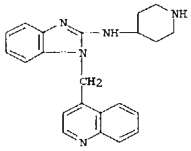
●3 HCl

RN 317587-95-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(3-methoxy-2-quinoxalinyl)methyl]- (9CI) (CA INDEX NAME)

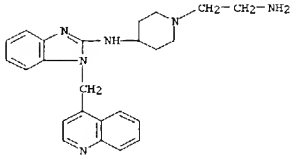
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 317587-99-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-quinolinylmethyl)- (9CI) (CA INDEX NAME)

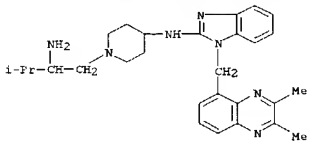


RN 317588-04-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(4-quinolinylmethyl)- (9CI) (CA INDEX NAME)



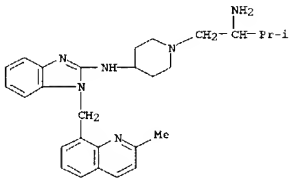
RN 317588-08-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2,3-dimethyl-5-quinoxalinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



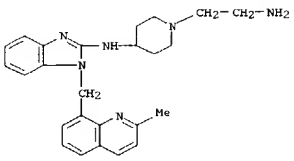
●4 HCl

RN 317588-13-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-methyl-8-quinolinyl)methyl]- (9CI) (CA INDEX NAME)

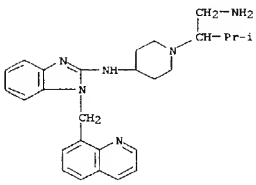


RN 317588-18-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-8-quinolinyl)methyl]- (9CI) (CA INDEX NAME)

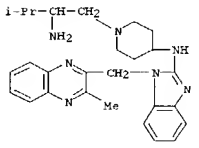
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 317588-25-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2-methylpropyl]-4-piperidinyl]-1-(8-quinolinylmethyl)- (9CI) (CA INDEX NAME)

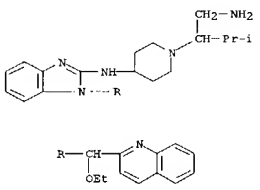


RN 317588-30-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(3-methyl-2-quinoxalinyl)methyl]- (9CI) (CA INDEX NAME)

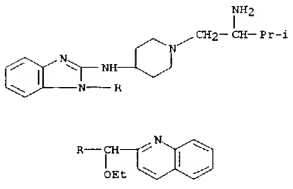


RN 317588-34-4 CAPLUS

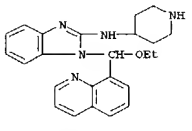
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2-methylpropyl]-4-piperidinyl]-1-(ethoxy-2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



RN 317588-39-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(ethoxy-2-quinolinylmethyl)- (9CI) (CA INDEX NAME)

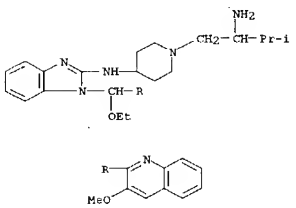


RN 317588-47-9 CAPLUS
CN 1H Benzimidazol-2-amine, 1-(ethoxy-8-quinolinylmethyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



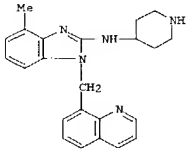
RN 317588-56-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
[ethoxy(3-methoxy-2-quinolinyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)



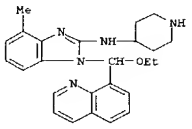
●3 HCl

RN 317588-66-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 4-methyl-N-4-piperidinyl-1-(8-quinolinylmethyl)- (9CI) (CA INDEX NAME)

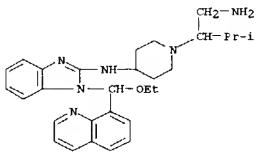


RN 317588-71-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(ethoxy-8-quinolinylmethyl)-4-methyl-N-4-piperidinyl- (9CI) (CA INDEX NAME)

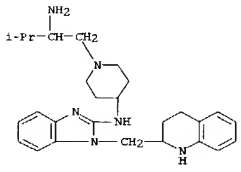
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 317588-80-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2-methylpropyl]-4-piperidinyl]-1-(ethoxy-8-quinolinylmethyl)- (9CI) (CA INDEX NAME)



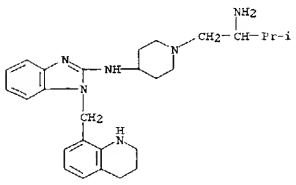
RN 317588-89-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(1,2,3,4-tetrahydro-2-quinolinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

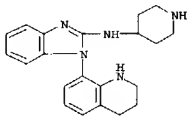
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 317588-93-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(1,2,3,4-tetrahydro-8-quinolinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



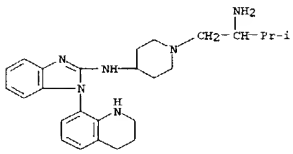
●4 HCl

RN 317588-98-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(1,2,3,4-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)

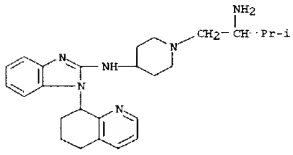


RN 317589-03-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(1,2,3,4-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)

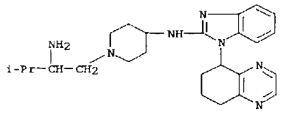
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 317589-08-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(5,6,7,8-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)

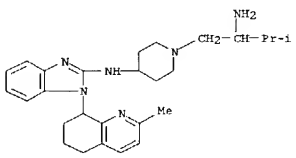


RN 317589-12-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(5,6,7,8-tetrahydro-5-quinoxalinyl)- (9CI) (CA INDEX NAME)



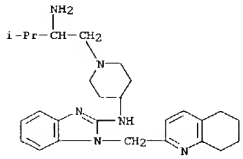
RN 317589-16-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(5,6,7,8-tetrahydro-2-methyl-8-quinolinyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●4 HCl

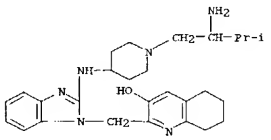
RN 317589-20-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(5,6,7,8-tetrahydro-2-quinolinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

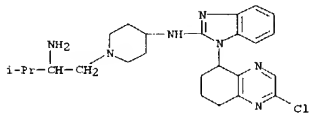
RN 317589-30-3 CAPLUS
CN 3-Quinolinol, 2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-5,6,7,8-tetrahydro-, tetrahydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●4 HCl

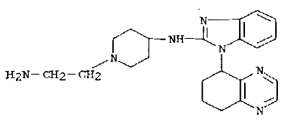
RN 317589-34-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

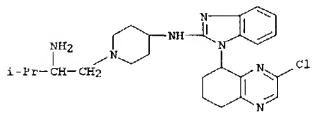
RN 317589-38-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(5,6,7,8-tetrahydro-5-quinoxalinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



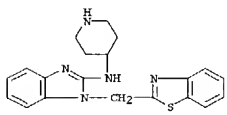
●3 HCl

RN 317589-43-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(3-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

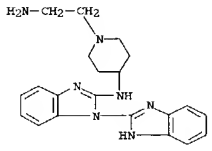
RN 317589-47-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(2-benzothiazolylmethyl)-N-4-piperidinyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

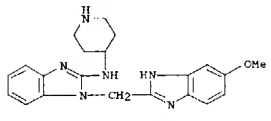
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 317589-52-9 CAPLUS
CN [1,2'-Bi-1H-benzimidazol]-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

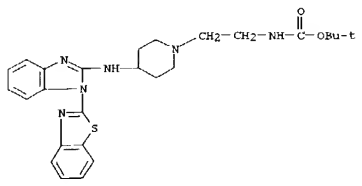


●4 HCl

RN 317589-57-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(5-methoxy-1H-benzimidazol-2-yl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)

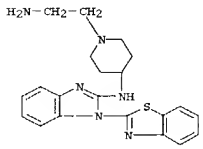


RN 317589-62-1 CAPLUS
CN Carbamic acid, [2-[4-[[1-(2-benzothiazolyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



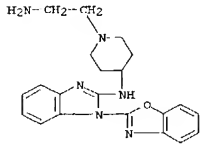
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 317589-67-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-benzothiazolyl)-, trihydrochloride (9CI) (CA INDEX NAME)

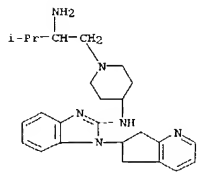


●3 HCl

RN 317589-71-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-benzoxazolyl)- (9CI) (CA INDEX NAME)

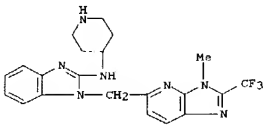


RN 317589-76-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(6,7-dihydro-5H-cyclopenta[b]pyridin-6-yl)- (9CI) (CA INDEX NAME)

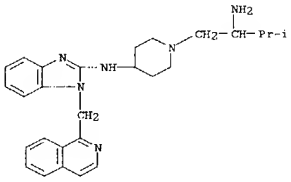


L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 317589-80-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[[3-methyl-2-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-5-yl]methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)



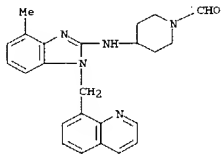
RN 317589-85-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(1-isoquinolinylmethyl)-, trihydrochloride (9CI) (CA INDEX NAME)



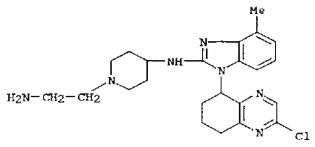
●3 HCl

RN 317590-01-5 CAPLUS
CN 1-Piperidinecarboxaldehyde, 4-[[4-methyl-1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



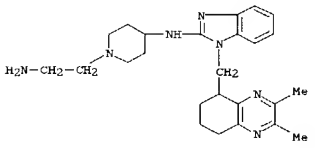
RN 317590-05-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)-4-methyl-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

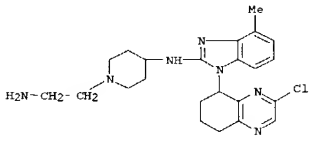
RN 317590-10-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5,6,7,8-tetrahydro-2,3-dimethyl-5-quinoxalinyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



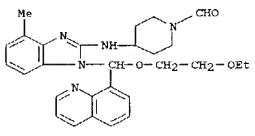
●3 HCl

RN 317590-15-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(3-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)-4-methyl-, trihydrochloride (9CI) (CA INDEX NAME)



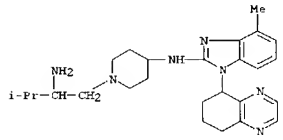
●3 HCl

RN 317590-34-4 CAPLUS
CN 1-Piperidinecarboxaldehyde, 4-[[1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-4-methyl-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

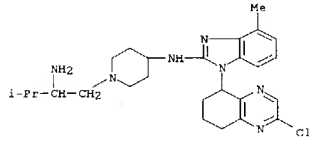


RN 317590-38-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-

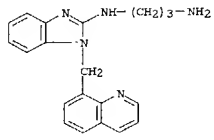
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
methyl-1-(5,6,7,8-tetrahydro-5-quinoxalinyl)- (9CI) (CA INDEX NAME)



RN 317590-47-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)-4-methyl- (9CI) (CA INDEX NAME)



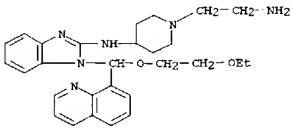
RN 317590-56-0 CAPLUS
CN 1,3-Propanediamine, N [1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

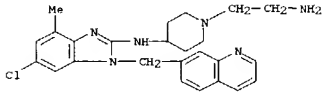
RN 317590-64-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



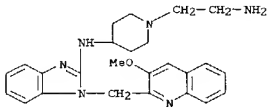
●3 HCl

RN 317590-75-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-6-chloro-4-methyl-1-(7-quinolinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)



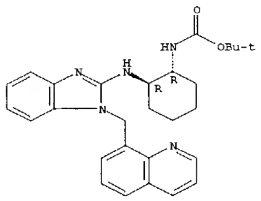
●4 HCl

RN 317590-79-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(3-methoxy-2-quinolinyl)methyl]- (9CI) (CA INDEX NAME)

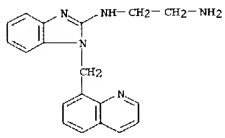


RN 317590-84-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(4-methyl-2-quinolinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

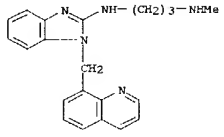
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 317590-97-9 CAPLUS
CN 1,2-Ethanediamine, N-[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

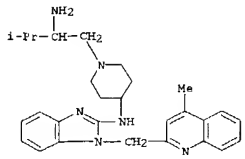


RN 317591-07-4 CAPLUS
CN 1,3-Propanediamine, N-methyl-N'-[1-(8 quinolinylmethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



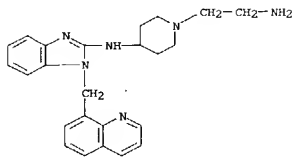
RN 317591-12-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[1-(8-quinolinyl)ethyl]- (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●4 HCl

RN 317590-89-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(8-quinolinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

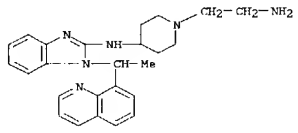


●4 HCl

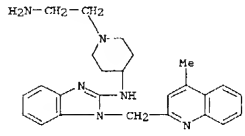
RN 317590-93-5 CAPLUS
CN Carbamic acid, [(1R,2R)-2-[[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]cyclohexyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

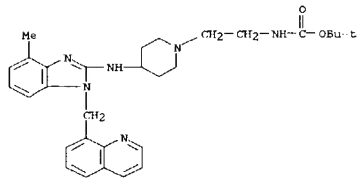


RN 317591-17-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(4-methyl-2-quinolinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

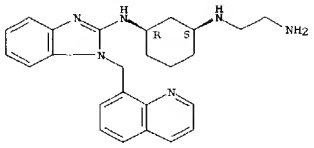
RN 317591-31-4 CAPLUS
CN Carbamic acid, [2-[4-[[4-methyl-1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 317591-35-8 CAPLUS
CN 1,3-Cyclohexanediamine, N-(2-aminoethyl)-N'-[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]-, trihydrochloride, (1R,3S)-rel- (9CI) (CA INDEX NAME)

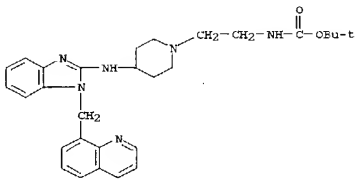
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Relative stereochemistry.



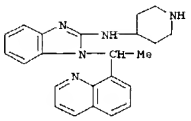
●3 HCl

RN 317591-40-5 CAPLUS
CN Carbamic acid, [2-[4-[[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



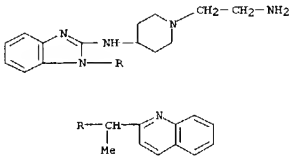
RN 317591-45-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-[1-(8-quinolinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



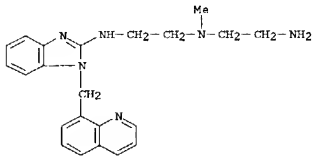
●2 HCl

RN 317591-49-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[1-(2-quinolinyl)ethyl]- (9CI) (CA INDEX NAME)



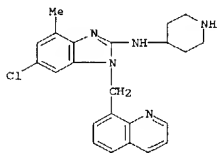
RN 317591-54-1 CAPLUS
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N-methyl-N'-[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

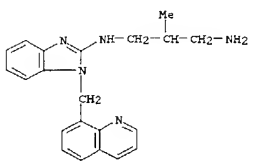


●4 HCl

RN 317591-58-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-chloro-4-methyl-N-4-piperidinyl-1-(8-quinolinylmethyl)- (9CI) (CA INDEX NAME)

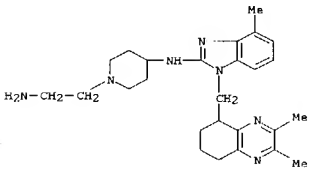


RN 317591-63-2 CAPLUS
CN 1,3-Propanediamine, 2-methyl-N-[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

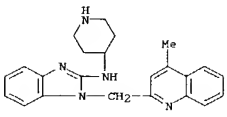


RN 317591-68-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-4-methyl-1-

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
[(5,6,7,8-tetrahydro-2,3-dimethyl-5-quinoxalinyl)methyl]- (9CI) (CA INDEX NAME)

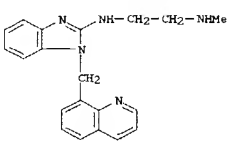


RN 317591-72-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(4-methyl-2-quinolinyl)methyl]-N-4-piperidinyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

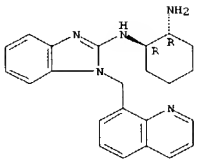
RN 317591-77-8 CAPLUS
CN 1,2-Ethanediamine, N-methyl-N'-[1-(8-quinolinylmethyl)-1H-benzimidazol 2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



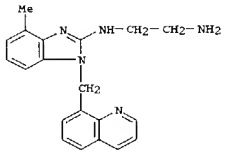
●2 HCl

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 317591-86-9 CAPLUS
CN 1,2-Cyclohexanediamine, N-[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

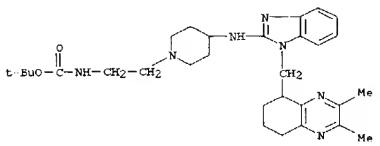


RN 317591-91-6 CAPLUS
CN 1,2-Ethanediamine, N-[4-methyl-1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



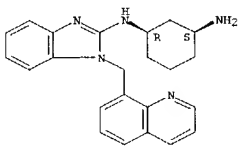
RN 317592-00-0 CAPLUS
CN Carbamic acid, [2-[4-[[1-[(5,6,7,8-tetrahydro-2,3-dimethyl-5-quinoxalinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

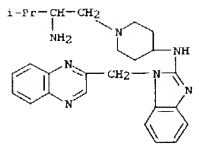


RN 317592-05-5 CAPLUS
CN 1,3-Cyclohexanediamine, N-[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]-, (1R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

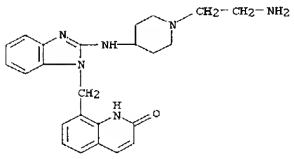


RN 317592-10-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-quinoxalinylmethyl)-, trihydrochloride (9CI) (CA INDEX NAME)



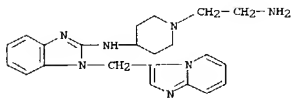
●3 HCl

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 317592-15-7 CAPLUS
CN 2(1H)-Quinolinone, 8-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

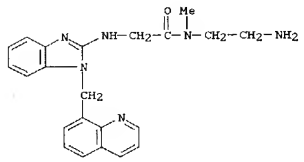
RN 317592-19-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(imidazo[1,2-a]pyridin-3-ylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

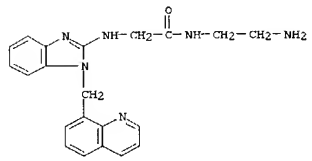
RN 317592-25-9 CAPLUS
CN Acetamide, N-(2-aminoethyl)-N-methyl-2-[[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-, trihydrochloride (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

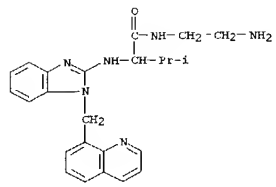


●3 HCl

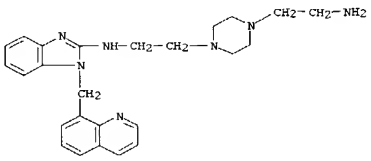
RN 317592-29-3 CAPLUS
CN Acetamide, N-(2-aminoethyl)-2-[[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



RN 317592-33-9 CAPLUS
CN Butanamide, N-(2-aminoethyl)-3-methyl-2-[[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

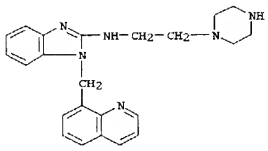


L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 317592-38-4 CAPLUS
CN 1,4-Piperazinediethanamine, N-[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

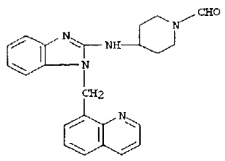
RN 317592-42-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[2-(1-piperazinyl)ethyl]-1-(8-quinolinylmethyl)-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

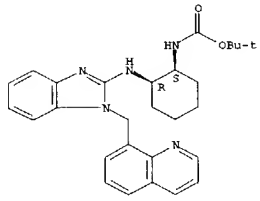
RN 317592-47-5 CAPLUS
CN 1-Piperidinecarboxaldehyde, 4-[[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



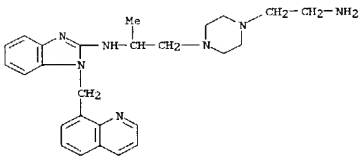
RN 317592-53-3 CAPLUS
CN Carbamic acid, [(1R,2S)-2-[[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]cyclohexyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 317592-58-8 CAPLUS
CN 1,4-Piperazinediethanamine, α-methyl-N-[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

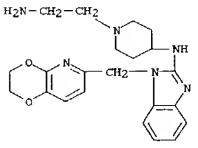
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 317592-64-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2,3-dihydro-1,4-dioxino[2,3-b]pyridin-6-yl)methyl]-, ethanedioate (2:7) (9CI) (CA INDEX NAME)

CM 1

CRN 317592-63-5
CMF C22 H28 N6 O2

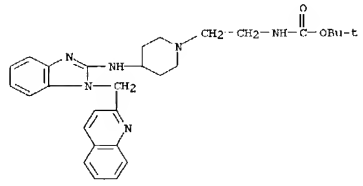


CM 2

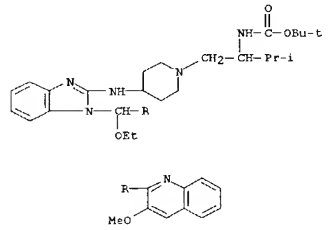
CRN 144-62-7
CMF C2 H2 O4

RN 317592-69-1 CAPLUS
CN Carbamic acid, [2-[4-[[1-(2-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

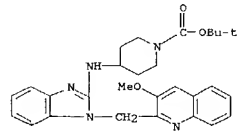
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



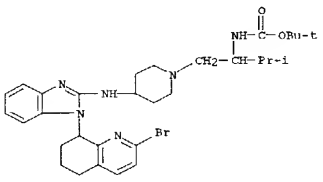
RN 317592-77-1 CAPLUS
CN Carbamic acid, [1-[[4-[[1-[ethoxy(3-methoxy-2-quinolinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]methyl]-2-methylpropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



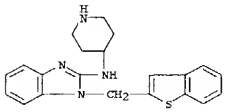
RN 317592-81-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[(3-methoxy-2-quinolinyl)methyl]-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 317592-86-2 CAPLUS
CN Carbamic acid, [1-[[4-[[1-(2-bromo-5,6,7,8-tetrahydro-8-quinolinyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]methyl]-2-methylpropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

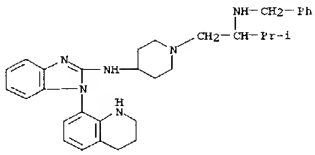


RN 317592-91-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(benzo[b]thien-2-ylmethyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)

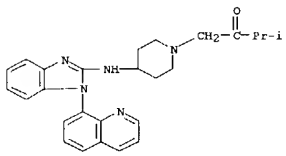


IT **317596-36-4P**
RL: BYP (Byproduct); PREP (Preparation)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)
RN 317596-36-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[3-methyl-2-[(phenylmethyl)amino]butyl]-4-piperidinyl]-1-(1,2,3,4-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)

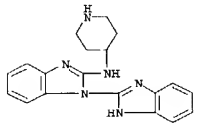
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **317595-45-2 317595-49-6 317595-82-7**
**317595-86-1 317595-91-8 317595-96-3**
**317596-15-9 317596-19-3 317596-27-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)
RN 317595-45-2 CAPLUS
CN 2-Butanone, 3-methyl-1-[4-[[1-(8-quinolinyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)

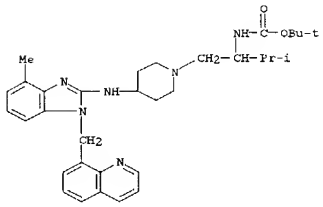


RN 317595-49-6 CAPLUS
CN [1,2'-Bi-1H-benzimidazol]-2-amine, N-4-piperidinyl- (9CI) (CA INDEX NAME)

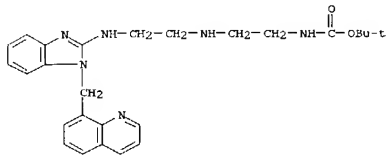


RN 317595-82-7 CAPLUS

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Carbamic acid, [2-methyl-1-[[4-[[4-methyl-1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

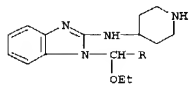


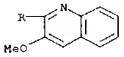
RN 317595-86-1 CAPLUS
CN Carbamic acid, [2-[[2-[[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]ethyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



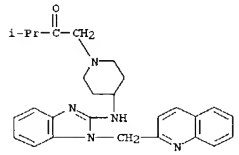
RN 317595-91-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[ethoxy(3-methoxy-2-quinolinyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

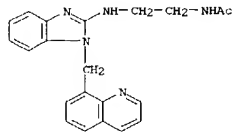




RN 317595-96-3 CAPLUS
CN 2-Butanone, 3-methyl-1-(4-[[1-(2-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)



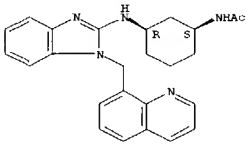
RN 317596-15-9 CAPLUS
CN Acetamide, N-[2-[[1-(8-quinolinylmethyl)-1H-benzimidazol-2 yl]amino]ethyl]- (9CI) (CA INDEX NAME)



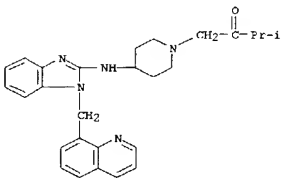
RN 317596-19-3 CAPLUS
CN Acetamide, N-[(1R,3S)-3-[[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]cyclohexyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



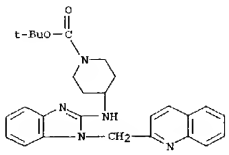
RN 317596-27-3 CAPLUS
CN 2-Butanone, 3-methyl-1-[4-[[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)



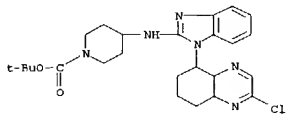
IT **317593-01-4P 317593-14-9P 317593-37-6P**
**317593-77-4P 317593-82-1P 317594-23-3P**
**317594-31-3P 317594-35-7P 317594-40-4P**
**317594-49-3P 317594-59-5P 317594-64-2P**
**317594-69-7P 317594-77-7P 317594-86-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317593-01-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(2-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

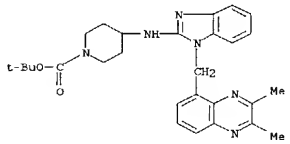
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 317593-14-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(2-chloro-4a,5,6,7,8,8a-hexahydro-5-quinoxalinyl)-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

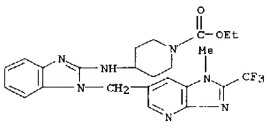


RN 317593-37-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[(2,3-dimethyl-5-quinoxalinyl)methyl]-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

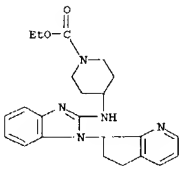


RN 317593-77-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[[1-methyl-2-(trifluoromethyl)-1H-imidazo[4,5-b]pyridin-6-yl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

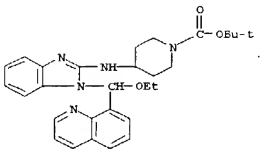
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 317593-82-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(6,7-dihydro-5H-cyclopenta[b]pyridin-7-yl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

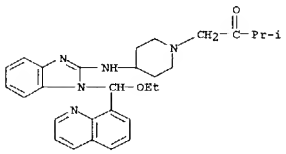


RN 317594-23-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(ethoxy-8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

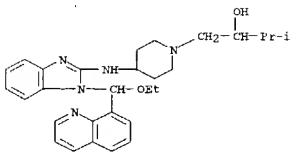


RN 317594-31-3 CAPLUS
CN 2-Butanone, 1-[4-[[1-(ethoxy-8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-3-methyl- (9CI) (CA INDEX NAME)

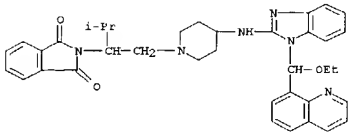
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 317594-35-7 CAPLUS
CN 1-Piperidineethanol, 4-[[1-(ethoxy-8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-α-(1-methylethyl)- (9CI) (CA INDEX NAME)

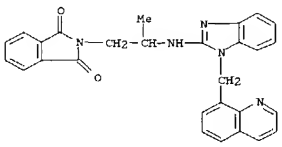


RN 317594-40-4 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[1-[[4-[[1-(ethoxy-8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]methyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)

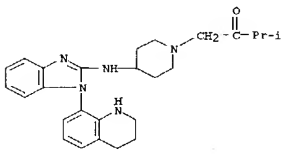


RN 317594-49-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]propyl]- (9CI) (CA INDEX NAME)

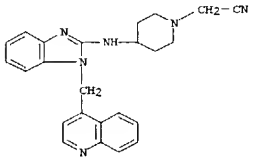
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 317594-59-5 CAPLUS
CN 2-Butanone, 3-methyl-1-[4-[[1-(1,2,3,4-tetrahydro-8-quinolinyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)

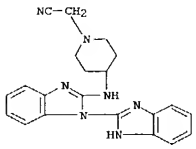


RN 317594-64-2 CAPLUS
CN 1-Piperidineacetonitrile, 4-[[1-(4-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

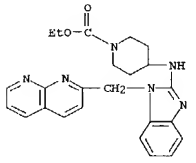


RN 317594-69-7 CAPLUS
CN 1-Piperidineacetonitrile, 4-([1,2'-bi-1H-benzimidazol]-2-ylamino) (9CI) (CA INDEX NAME)

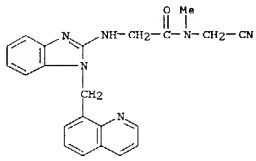
L70 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 317594-77-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(1,8-naphthyridin-2-ylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 317594-86-8 CAPLUS
CN Acetamide, N-(cyanomethyl)-N-methyl-2-[[1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



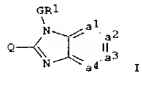
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:12444 CAPLUS
DOCUMENT NUMBER: 134:86248
TITLE: Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.
INVENTOR(S): Janssens, Frans Eduard; Meersman, Kathleen Petrus Marie-Jose; Sommen, Francois Maria; Guillemont, Jerome Emile Georges; Lacrampe, Jean Fernand Armand; Andries, Koenraad Jozef Lodewijk Marcel
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001000611 | A1 | 20010104 | WO 2000-EP5676 | 20000620 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| BR 2000012054 | A | 20020319 | BR 2000-12054 | 20000620 |
| EP 1196408 | A1 | 20020417 | EP 2000-943841 | 20000620 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2003503401 | T2 | 20030128 | JP 2001-507020 | 20000620 |
| EE 200100692 | A | 20030217 | EE 2001-692 | 20000620 |
| NZ 515418 | A | 20031128 | NZ 2000-515418 | 20000620 |
| HR 2001000933 | A1 | 20030630 | HR 2001-933 | 20011219 |
| ZA 2001010478 | A | 20030320 | ZA 2001-10478 | 20011220 |
| NO 2001006368 | A | 20020228 | NO 2001-6368 | 20011227 |
| BG 106287 | A | 20021031 | BG 2002-106287 | 20020108 |

PRIORITY APPLN. INFO.: EP 1999-202087 A 19990628
EP 2000-200452 A 20000211
WO 2000-EP5676 W 20000620

OTHER SOURCE(S): MARPAT 134:86248
GI

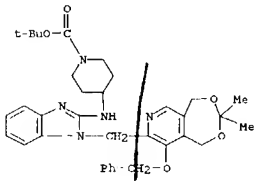


AB Use of title compds. [I; a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:N:CH:CH, CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1, R2R4NCOAX1, specified (heterocyclic) ring, etc.; A = alkylene; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, aminocycloalkyl, etc.; R4 = H,

L70 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
alkyl, aralkyl; G = bond, alkanediyl; R1 = (substituted) piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrrolyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, etc.] for treatment of viral infection is claimed. Thus, 1,1-dimethylethyl 4-[[1-[[3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinecarboxylate was refluxed 6 h in 10N HCl to give 4-[[1-[[3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl]methyl]-1H-benzimidazol-2-yl]amino]piperidine. Tested I inhibited respiratory syncytial virus replication with IC50 = 0.00013-2.5119 μM.

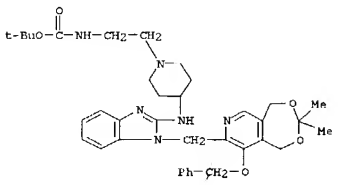
IT **317847-70-4 317847-81-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317847-70-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[[1,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)[1,3]dioxepino[5,6-c]pyridin-8-yl]methyl]-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 317847-81-7 CAPLUS
CN Carbamic acid, [2-[4-[[1-[[1,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)[1,3]dioxepino[5,6-c]pyridin-8-yl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L70 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



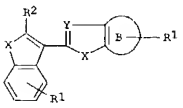
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:911254 CAPLUS
DOCUMENT NUMBER: 134:71595
TITLE: Preparation of indolylbenzimidazole derivatives as antibacterials
INVENTOR(S): Bannister, Thomas D.; Cuny, Gregory D.; Hauske, James R.; Hoemann, Michael Z.; Rossi, Richard F.; Xie, Roger Leijie
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000078761 | A1 | 20001228 | WO 2000-US17371 | 20000623 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-140570P P 19990623
OTHER SOURCE(S): MARPAT 134:71595
GI



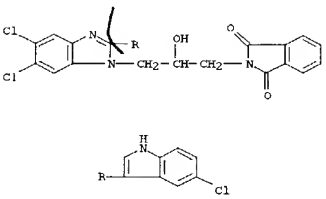
I

AB The title heteroarom. compds. I [X = NR, O, S; Y = N, NO; B = fused ring; R1 = Me, alkyl, aryl, etc.; R2 = H, heteroalkyl, cycloalkyl, etc.], antibacterials or antiinfectives or both, were prepared E.g., the product resulting from reaction of 5-bromo-3-indolecarboxaldehyde and 4-chloro-o-phenylenediamine was prepared and tested for antibacterial activity.

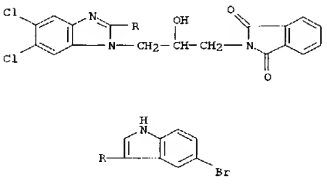
IT **314248-65-2P 314248-66-3P 314248-67-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indolylbenzimidazole derivs. as antibacterials)

RN 314248-65-2 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[5,6-dichloro-2-(5-chloro-1H-indol-3-yl)-

L70 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1H-benzimidazol-1-yl]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

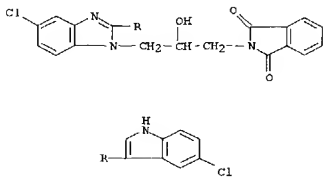


RN 314248-66-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[2-(5-bromo-1H-indol-3-yl)-5,6-dichloro-1H-benzimidazol-1-yl]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)



RN 314248-67-4 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[5-chloro-2-(5-chloro-1H-indol-3-yl)-1H-benzimidazol-1-yl]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

L70 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:658114 CAPLUS
DOCUMENT NUMBER: 133:238002
TITLE: Preparation of 1,2-substituted benzimidazole derivatives as antiallergic agents
INVENTOR(S): Sato, Toshio; Taguchi, Takeo; Nakano, Hiroyuki; Inoue, Tsutomu; Kawasaki, Nobuhide
PATENT ASSIGNEE(S): Fuji Yakuhin K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2000256354 | A2 | 20000919 | JP 1999-55531 | 19990303 |

PRIORITY APPLN. INFO.: JP 1999-55531 19990303
OTHER SOURCE(S): MARPAT 133:238002
GI

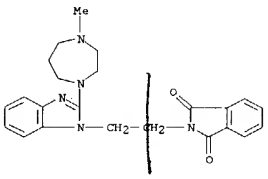
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I; R1 = Me, Q; R2 = alkyl, Q1; A1, A2 = linear or branched C2-9 alkylene optionally interrupted by O, S, NH, or NHCO; R3 = H, R4CO, R4SO2; wherein R4 = (un)substituted alkyl, aryl, or heterocyclyl; provided that when R1 = Me, R2 ≠ alkyl) or pharmacol. acceptable salts thereof are prepared These compds. exhibit antihistaminic, antioxidant, 5-lipoxygenase-inhibitory, and cyclooxygenase-inhibitory activity, and inhibition of chemical messenger release and are useful for the prevention and treatment of allergic diseases such as bronchial asthma, allergic rhinitis, and atopic dermatitis. Thus, 2-chloro-1-[4-(4-hydroxy-2,3,5-trimethylphenoxy)butyl]benzimidazole and N-methylhomopiperazine were stirred at room temperature at 130° for 4 h to give 1-[4-(4-hydroxy-2,3,5-trimethylphenoxy)butyl]-2-(4-methyl-1-homopiperazino)benzimidazole (II). II and 1-[4-(4-hydroxy-2,3,5-trimethylphenoxy)butyl]-2-[4-[4-(4-hydroxy-2,3,5-trimethylphenoxy)butyl]-1-homopiperazino]benzimidazole at 10-6 M inhibited 5-lipoxygenase of RBL-1 cell by 65.9 and 87.6%, resp.

IT **293298-28-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted benzimidazole derivs. as antiallergic agents, antioxidants, 5-lipoxygenase and cyclooxygenase inhibitors, and inhibitors of chemical messenger release)

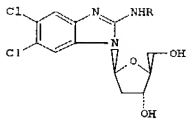
RN 293298-28-9 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-1H-benzimidazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

L70 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L70 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:182370 CAPLUS
DOCUMENT NUMBER: 132:342805
TITLE: Synthesis and evaluation of a series of 2'-deoxy analogues of the antiviral agent 5,6-dichloro-2-isopropylamino-1-(β-D-ribofuranosyl)-1H-benzimidazole (1263W94)
AUTHOR(S): Chan, Joseph H.; Chamberlain, Stanley D.; Biron, Karen K.; Davis, Michelle G.; Harvey, Robert J.; Selleseth, Dean W.; Dornsife, Ronna E.; Dark, Ernest H.; Frick, Lloyd W.; Townsend, Leroy B.; Drach, John C.; Koszalka, George W.
CORPORATE SOURCE: Division of Chemistry, Glaxo Wellcome Inc., Research Triangle Park, NC, 27709, USA
SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2000), 19(1 & 2), 101-123
CODEN: NNNAFY; ISSN: 1525-7770
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



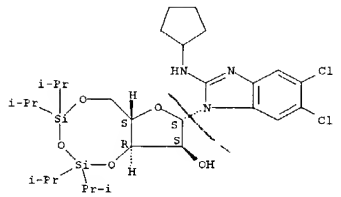
I

AB A series of 2'-deoxy analogs of the antiviral agent 5,6-dichloro-2-isopropylamino-1-(β-L-ribofuranosyl)-1H-benzimidazole (1263W94) were synthesized and evaluated for activity against human cytomegalovirus (HCMV) and for cytotoxicity. The 2-substituents in the benzimidazole moiety correspond to those that were used in the 1263W94 series. In general, as was found in the 1263W94 series, cyclic and branched alkylamino groups were needed for potent activity against HCMV. Three analogs were as potent as 1263W94. Further evaluation of two analogs suggested that these 2'-deoxy analogs may act via a novel mechanism of action similar to that of 1263W94. These 2'-deoxy analogs generally lacked cytotoxicity in vitro. Pharmacokinetic parameters in mice and protein binding properties of one of the analogs (I) were quite similar to 1263W94. However, the oral bioavailability of I was only half of that observed for 1263W94.

IT **268566-64-9P 268566-65-0P 268566-66-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure-activity relations of a series of 2'-deoxy-L-ribofuranose analogs as antiviral agents against human cytomegalovirus)

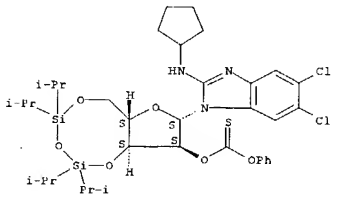
RN 268566-64-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-N-cyclopentyl-1-[3,5-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]-β-L-ribofuranosyl]- (9CI) (CA INDEX NAME)

L70 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



RN 268566-65-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-N-cyclopentyl-1-[2-O-(phenoxythioxomethyl)-3,5-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]-β-L-ribofuranosyl]- (9CI) (CA INDEX NAME)

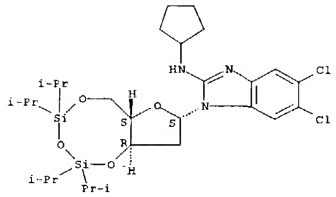
Absolute stereochemistry.



RN 268566-66-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-N-cyclopentyl-1-[2-deoxy-3,5-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]-β-L-erythro-pentofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L70 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

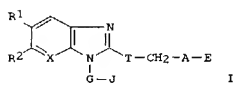


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:68436 CAPLUS
DOCUMENT NUMBER: 132:107952
TITLE: Preparation of thiobenzimidazole derivatives as chymase inhibitors
INVENTOR(S): Matsumoto, Yoshiyuki; Takeuchi, Susumu; Hase, Naoki
PATENT ASSIGNEE(S): Teijin Limited, Japan
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000003997 | A1 | 20000127 | WO 1999-JP3799 | 19990714 |
| W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2336909 | AA | 20000127 | CA 1999-2336909 | 19990714 |
| AU 9946519 | A1 | 20000207 | AU 1999-46519 | 19990714 |
| AU 758789 | B2 | 20030327 | | |
| EP 1097926 | A1 | 20010509 | EP 1999-929832 | 19990714 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | |
| BR 9912098 | A | 20010925 | BR 1999-12098 | 19990714 |
| EE 200100022 | A | 20020617 | EE 2001-22 | 19990714 |
| NZ 509207 | A | 20030131 | NZ 1999-509207 | 19990714 |
| NO 2001000193 | A | 20010112 | NO 2001-193 | 20010112 |
| HR 2001000030 | A1 | 20011231 | HR 2001-30 | 20010112 |
| BG 105149 | A | 20010831 | BG 2001-105149 | 20010115 |

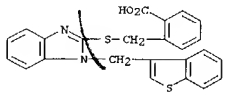
PRIORITY APPLN. INFO.: JP 1998-200250 A 19980715
WO 1999-JP3799 W 19990714
OTHER SOURCE(S): MARPAT 132:107952
GI



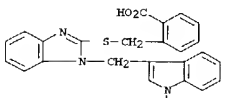
I

AB The title compds. I [T = S(O)m; R1, R2 = H, halo, etc.; A = single bond, etc.; E = CO2R3, etc.; R3 = H, alkyl; G = alkylene; further details on G are given; m = 0 - 2; J is, for example, aryl, etc.; extensive details on J are given] are prepared Compds. of this invention in vitro showed IC50 values of 10 nM to 100 nM against chymase. A formulation is given.
IT **255396-45-3P 255396-46-4P 255396-90-8P 255396-91-9P 255397-02-5P 255397-03-6P**

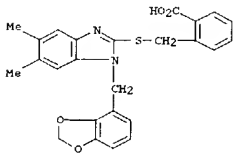
L70 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
**255397-04-7P 255397-05-8P 255397-06-9P 255397-07-0P 255397-08-1P 255397-31-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiobenzimidazole derivs. as chymase inhibitors)
RN 255396-45-3 CAPLUS
CN Benzoic acid, 2-[[[1-(benzo[b]thien-3-ylmethyl)-1H-benzimidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)



RN 255396-46-4 CAPLUS
CN Benzoic acid, 2-[[[1-[(1-methyl-1H-indol-3-yl)methyl]-1H-benzimidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)

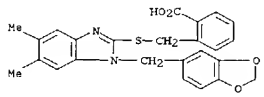


RN 255396-90-8 CAPLUS
CN Benzoic acid, 2-[[[1-(1,3-benzodioxol-4-ylmethyl)-5,6-dimethyl-1H-benzimidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)

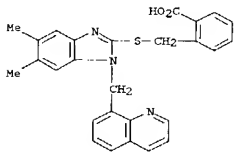


RN 255396-91-9 CAPLUS
CN Benzoic acid, 2-[[[1-(1,3-benzodioxol-5-ylmethyl)-5,6-dimethyl-1H-benzimidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)

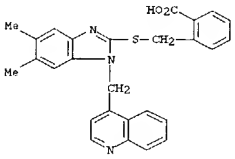
L70 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 255397-02-5 CAPLUS
CN Benzoic acid, 2-[[[5,6-dimethyl-1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)

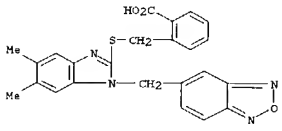


RN 255397-03-6 CAPLUS
CN Benzoic acid, 2-[[[5,6-dimethyl-1-(4-quinolinylmethyl)-1H-benzimidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)

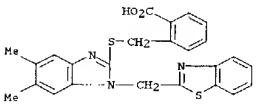


RN 255397-04-7 CAPLUS
CN Benzoic acid, 2-[[[1-[(6-chloro-8-isoquinolinyl)methyl]-5,6-dimethyl-1H-benzimidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)

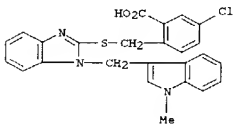
L70 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
benzimidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)



RN 255397-08-1 CAPLUS
CN Benzoic acid, 2-[[[1-(2-benzothiazolylmethyl)-5,6-dimethyl-1H-benzimidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)

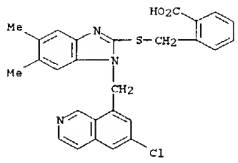


RN 255397-31-0 CAPLUS
CN Benzoic acid, 5-chloro-2-[[[1-[(1-methyl-1H-indol-3-yl)methyl]-1H-benzimidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)

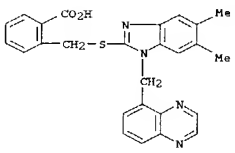


IT **255398-31-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiobenzimidazole derivs. as chymase inhibitors)
RN 255398-31-3 CAPLUS
CN Benzoic acid, 2-[[[1-[(1-methyl-1H-indol-3-yl)methyl]-1H-benzimidazol-2-yl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)

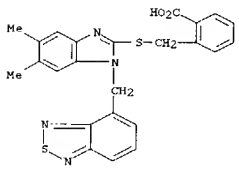
L70 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 255397-05-8 CAPLUS
CN Benzoic acid, 2-[[[5,6-dimethyl-1-(5-quinoxalinylmethyl)-1H-benzimidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)

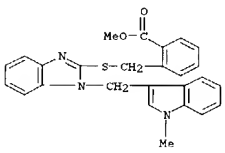


RN 255397-06-9 CAPLUS
CN Benzoic acid, 2-[[[1-(2,1,3-benzothiadiazol-4-ylmethyl)-5,6-dimethyl-1H-benzimidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)



RN 255397-07-0 CAPLUS
CN Benzoic acid, 2-[[[1-(2,1,3-benzoxadiazol-5-ylmethyl)-5,6-dimethyl-1H-

L70 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

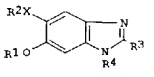


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

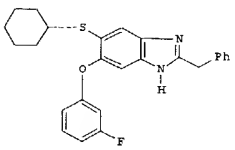
L70 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:59980 CAPLUS
DOCUMENT NUMBER: 132:122619
TITLE: Preparation of 2,5,6-substituted benzimidazole derivatives
INVENTOR(S): Saito, Shuji; Matsumoto, Taro; Nakamura, Toshio
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 42 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2000026430 | A2 | 20000125 | JP 1998-202744 | 19980702 |
| PRIORITY APPLN. INFO.: | | | JP 1998-202744 | 19980702 |

OTHER SOURCE(S): MARPAT 132:122619
GI

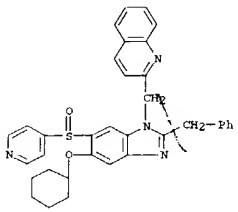


I

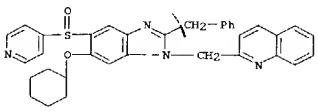


II

AB Title compds. [I; R1 = H, alkyl; R2 = alkyl, cholalkyl, aryl, pyridyl; R3 = H, alkyl, cycloalkyl; R4 = N, alkyl, alkoxy, (CH2)nA, (CH2)nYA; n = 1-5; A = alkyl, alkoxy; Y = O, S] and pharmaceutical acceptable salts are prepared and tested as antiinflammatory agents having IL-1, IL-5, IL-6 inhibition effects and are useful as antiallergy agents in the treatment of chronic rheumatism in autoimmune diseases, osteoporosis in bone diseases. Thus, the title compound II was prepared
IT **255918-17-3P 255918-18-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted benzimidazole derivs.)
RN 255918-17-3 CAPLUS
CN Quinoline, 2-[[5-(cyclohexyloxy)-2-(phenylmethyl)-6-(4-pyridinylsulfinyl)-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



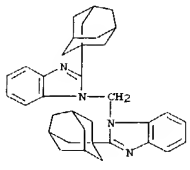
RN 255918-18-4 CAPLUS
CN Quinoline, 2-[[6-(cyclohexyloxy)-2-(phenylmethyl)-5-(4-pyridinylsulfinyl)-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



L70 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:12294 CAPLUS
DOCUMENT NUMBER: 132:165913
TITLE: 1D- and 2D-networks based on bis- and tris(2-R-benzimidazol-1-yl)methanes
AUTHOR(S): Lopez, C.; Claramunt, R. M.; Bourne, S. A.; Elguero, J.
CORPORATE SOURCE: Departamento de Quimica Organica y Biologia, Facultad de Ciencias, Universidad Nacional de Educacion a Distancia, Madrid, E-28040, Spain
SOURCE: Crystal Engineering (1999), 2(2/3), 197-213
CODEN: CRYEF8; ISSN: 1463-0184
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The supramol. entities formed by 5 polybenzimidazolylmethanes, bis(2-tert-butylbenzimidazol-1-yl)methane 2, bis(2-(adamant-1-yl)benzimidazol-1-yl)methane 3, tris(2-ethylbenzimidazol-1-yl)methane 4, tris(2-isopropyl-benzimidazol-1-yl) methane 5, and tris(2-chlorobenzimidazol-1-yl)methane 6 were studied. Compds. 2 and 5 crystallize without any included guest, while compds. 3 (MeOH and H2O), 4 (water), and 6 (cyclohexane) show their host properties.
IT **258501-80-3**
RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)
(crystallog.; 1D- and 2D-networks based on bis- and tris(2-R-benzimidazol-1-yl)methanes)
RN 258501-80-3 CAPLUS
CN Methanol, compd. with 1,1'-methylenebis[2-tricyclo[3.3.1.13,7]dec-1-yl 1H-benzimidazole] (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 145950-68-1
CMF C35 H40 N4



CM 2

CRN 67-56-1
CMF C H4 O

$H_3C-OH$

L70 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L70 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:576769 CAPLUS
DOCUMENT NUMBER: 131:219171
TITLE: Glycine transport inhibitors
INVENTOR(S): Luyten, Walter Herman Maria Louis; Janssens, Frans Eduard; Kennis, Ludo Edmond Josephine
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9944596 | A2 | 19990910 | WO 1999-EP1309 | 19990226 |
| WO 9944596 | A3 | 20000217 | | |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2322164 | AA | 19990910 | CA 1999-2322164 | 19990226 |
| AU 9934089 | A1 | 19990920 | AU 1999-34089 | 19990226 |
| EP 1059922 | A2 | 20001220 | EP 1999-915541 | 19990226 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 9907951 | A | 20010130 | BR 1999-7951 | 19990226 |
| EE 200000482 | A | 20020215 | EE 2000-482 | 19990226 |
| JP 2002505277 | T2 | 20020219 | JP 2000-534198 | 19990226 |
| HR 2000000523 | A1 | 20010228 | HR 2000-523 | 20000802 |
| BG 104685 | A | 20010430 | BG 2000-104685 | 20000811 |
| NO 2000004431 | A | 20001030 | NO 2000-4431 | 20000905 |

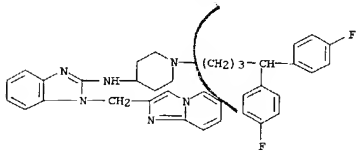
PRIORITY APPLN. INFO.: EP 1998-200701 A 19980306
WO 1999-EP1309 W 19990226
OTHER SOURCE(S): MARPAT 131:219171

AB The present invention is concerned with the use of glycine transport inhibiting [4,4-bis(4-fluorophenyl)butyl]-1-(piperazinyl and piperidinyl) derivs. for the preparation of medicaments for treating disorders of the central and peripheral nervous system, in particular psychoses, pain, epilepsy, neurodegenerative diseases (Alzheimer's disease), stroke, head trauma, multiple sclerosis and the like. E.g., 3-[1-[4,4-bis(4-fluorophenyl)butyl]-4-piperidinyl]-3,4-dihydro-2(1H)-quinazolinone was prepared as were a number of other derivs. The compds. were assayed for transport via GlyT1 transporters. Film-coated tablets were also prepared

IT **242791-80-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(glycine transport inhibitors)

RN 242791-80-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[4,4-bis(4-fluorophenyl)butyl]-4-

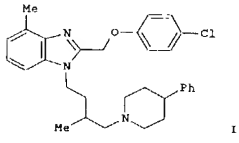
L70 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
piperidinyl]-1-(imidazo[1,2-a]pyridin-2-ylmethyl)- (9CI) (CA INDEX NAME)



L70 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:556107 CAPLUS
DOCUMENT NUMBER: 127:161824
TITLE: Benzimidazolyl neuropeptide Y receptor antagonists
INVENTOR(S): Arnold, Macklin B.; Britton, Thomas C.; Bruns, Robert F., Jr.; Cantrell, Buddy E.; Happ, Anne M.; Hipskind, Philip A.; Howbert, James J.; Lobb, Karen L.; Nixon, James A.; Ornstein, Paul L.; Smith, Edward C.; Zarrinmayeh, Hamideh; Zimmerman, Dennis M.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 369 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9725041 | A1 | 19970717 | WO 1997-US511 | 19970109 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2242579 | AA | 19970717 | CA 1997-2242579 | 19970109 |
| AU 9722421 | A1 | 19970801 | AU 1997-22421 | 19970109 |
| EP 871442 | A1 | 19981021 | EP 1997-905573 | 19970109 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 2000501107 | T2 | 20000202 | JP 1997-525457 | 19970109 |
| US 6255494 | B1 | 20010703 | US 1997-775538 | 19970109 |
| ZA 9704587 | A | 19981126 | ZA 1997-4587 | 19970526 |
| US 2002007071 | A1 | 20020117 | US 2000-726276 | 20001130 |

PRIORITY APPLN. INFO.: GB 1996-344 A 19960109
US 1996-21636P P 19960712
US 1997-775538 A3 19970109
WO 1997-US511 W 19970109
OTHER SOURCE(S): MARPAT 127:161824
GI



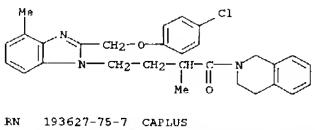
I

AB This invention provides a series of benzimidazoles, substituted in the

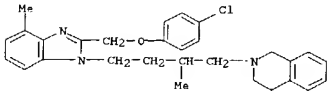
L70 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1-position by a variety of groups, substituted in the 2-position by certain carbocycle-contg. groups, and optionally substituted in positions 4-7. The compds. are useful in treating or preventing conditions assocd. with an excess of neuropeptide Y. The invention also provides methods employing the compds., as well as pharmaceutical formulations comprising one or more of them as active ingredients. Many of the compds. are said to show significant activity as neuropeptide Y receptor antagonists, with Ki of 10 μM to 0.1 nM (no addnl. data). Over 360 synthetic examples are given, in which the invention compds. serve as both intermediates and/or final products. Addnl. prepns. of non-invention compds. are also provided. For instance, 2-[(4-chlorophenoxy)methyl]-4-methylbenzimidazole underwent N-alkylation by BrCH2CH2CHMeCO2Et using NaH in DMF (98%), and the product underwent a sequence of sapon. (94%), amidation with 4-phenylpiperidine using DCC and HOBt (56%), and amide redn. using BH3.THF (72%), to give title compd. I.

IT **193627-48-4P 193627-75-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(invention compound; preparation of benzimidazole derivs. as neuropeptide Y receptor antagonists)

RN 193627-48-4 CAPLUS
CN Isoquinoline, 2-[4-[2-[(4-chlorophenoxy)methyl]-4-methyl-1H-benzimidazol-1-yl]-2-methyl-1-oxobutyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RN 193627-75-7 CAPLUS
CN Isoquinoline, 2-[4-[2-[(4-chlorophenoxy)methyl]-4-methyl-1H-benzimidazol-1-yl]-2-methylbutyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



L70 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:385652 CAPLUS
DOCUMENT NUMBER: 127:5020
TITLE: Preparation of quinolines as H+-ATPases inhibitors
INVENTOR(S): Oku, Teruo; Kawai, Yoshio; Satoh, Shigeki; Yamazaki, Hitoshi; Kayakiri, Natsuko; Urano, Yasuharu; Yoshihara, Kousei; Yoshida, Noriko
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Oku, Teruo; Kawai, Yoshio; Satoh, Shigeki; Yamazaki, Hitoshi; Kayakiri, Natsuko; Urano, Yasuharu; Yoshihara, Kousei; Yoshida, Noriko
SOURCE: PCT Int. Appl., 308 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9714681 | A1 | 19970424 | WO 1996-JP2981 | 19961015 |
| W: AU, CA, CN, JP, KR, MX, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9672288 | A1 | 19970507 | AU 1996-72288 | 19961015 |
| EP 876345 | A1 | 19981111 | EP 1996-933647 | 19961015 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 11514361 | T2 | 19991207 | JP 1996-515680 | 19961015 |
| US 6008230 | A | 19991228 | US 1998-51093 | 19980414 |

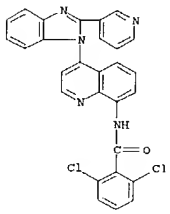
PRIORITY APPLN. INFO.: GB 1995-21102 19951016
AU 1996-1811 19960821
WO 1996-JP2981 19961015

OTHER SOURCE(S): MARPAT 127:5020
GI

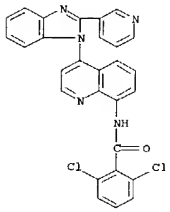
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = (un)substituted heterocyclic or aryl group; A = CONH, NHCO; n = 0-1; Y = II, III (wherein R2- R4 = H, halo, lower alkyl, etc.); X1 = O, S, NH); Z together with N = IV, V, VI, etc. (wherein R5 = H, lower alkyl; R6 = H, halo, lower alkyl, etc.; R7 = H, lower alkyl, a heterocyclic group, etc.)] and their pharmaceutically acceptable salts, useful for the prevention and/or the treatment of bone diseases caused by abnormal bone metabolism in human beings or animals, were prepared Thus, treatment of 8-(2,6-dichlorobenzoylamino)-3-cyano-4-methylquinoline with NBS in the presence of 2,2'-azobis(isobutyronitrile) in Cl(CH2)2Cl and CCl4 followed by reaction of the resulting 4-bromomethyl-8-(2,6-dichlorobenzoylamino)-3-cyanoquinoline with imidazole in Cl(CH2)2Cl, and treatment of the free base with 10% HCl/MeOH afforded VII.HCl which showed 100% inhibition of PTH-induced bone resorption.
IT **190132-06-0P 190132-10-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L70 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(prepn. of quinolines as H+-ATPases)
RN 190132-06-0 CAPLUS
CN Benzamide, 2,6-dichloro-N-[4-[2-(3-pyridinyl)-1H-benzimidazol-1-yl]-8-quinolinyl]- (9CI) (CA INDEX NAME)

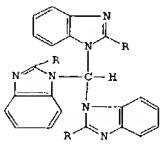


RN 190132-10-6 CAPLUS
CN Benzamide, 2,6-dichloro-N-[4-[2-(3-pyridinyl)-1H-benzimidazol-1-yl]-8-quinolinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



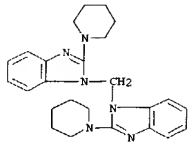
●2 HCl

L70 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:30719 CAPLUS
DOCUMENT NUMBER: 120:30719
TITLE: Synthesis and resolution of bis- and tris(benzimidazol-1-yl)methanes
AUTHOR(S): Bobosik, Vladimir; Lopez, Concepcion; Claramunt, Rosa Maria; Roussel, Christian; Stein, Jean Louis; Thiery, Dominique; Elguero, Jose
CORPORATE SOURCE: Fac. Cienc., UNED, Madrid, 28040, Spain
SOURCE: Heterocycles (1993), 35(2), 1067-74
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



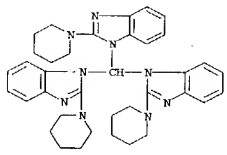
I

AB Bis- and tris-(benzimidazol-1-yl)methane derivs., e.g. I (R = Me, Et, CHMe2, Cl), are reported with different substituents at position 2 of the benzimidazole ring. When the substituents are large enough, these compds., even the bis-derivs., can be resolved using HPLC on CHIRALPAK OT(+) columns.
IT **151671-62-4P 151671-63-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and enantiomeric resolution of, on a CHIRALPAK OT(+) HPLC column)
RN 151671-62-4 CAPLUS
CN 1H-Benzimidazole, 1,1'-methylenebis[2-(1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 151671-63-5 CAPLUS
CN 1H-Benzimidazole, 1,1',1''-methylidynetris[2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

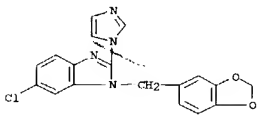
L70 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



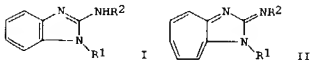
L70 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:603427 CAPLUS
DOCUMENT NUMBER: 119:203427
TITLE: Preparation of N-containing heterocyclic compounds as phosphodiesterase inhibitors.
INVENTOR(S): Takase, Yasutaka; Watanabe, Nobuhisa; Matsui, Makoto; Ikuta, Hironori; Kimura, Teiji; Saeki, Takao; Adachi, Hideyuki; Tokumura, Tadakazu; Mochida, Hisatoshi; et al.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 362 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9307124 | A1 | 19930415 | WO 1992-JP1258 | 19920930 |
| W: AU, CA, FI, HU, JP, KR, NO, RU, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE | | | | |
| ZA 9207465 | A | 19930413 | ZA 1992-7465 | 19920929 |
| CN 1071164 | A | 19930421 | CN 1992-110792 | 19920929 |
| AU 9226851 | A1 | 19930503 | AU 1992-26851 | 19920930 |
| AU 668363 | B2 | 19960502 | | |
| EP 607439 | A1 | 19940727 | EP 1992-920913 | 19920930 |
| EP 607439 | B1 | 20020109 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE | | | | |
| HU 70854 | A2 | 19951128 | HU 1994-910 | 19920930 |
| JP 2818487 | B2 | 19981030 | JP 1993-506780 | 19920930 |
| JP 2000264877 | A2 | 20000926 | JP 2000-70130 | 19920930 |
| JP 2000264885 | A2 | 20000926 | JP 2000-70142 | 19920930 |
| JP 3477138 | B2 | 20031210 | | |
| JP 2000273089 | A2 | 20001003 | JP 2000-70138 | 19920930 |
| JP 3481900 | B2 | 20031222 | | |
| AT 211734 | E | 20020115 | AT 1992-920913 | 19920930 |
| US 5576322 | A | 19961119 | US 1994-196110 | 19940218 |
| FI 9401417 | A | 19940325 | FI 1994-1417 | 19940325 |
| NO 9401101 | A | 19940530 | NO 1994-1101 | 19940325 |
| US 5693652 | A | 19971202 | US 1995-408867 | 19950323 |
| JP 10095776 | A2 | 19980414 | JP 1997-195696 | 19970722 |
| JP 3081172 | B2 | 20000828 | | |
| US 5801180 | A | 19980901 | US 1997-904260 | 19970731 |

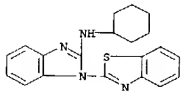
PRIORITY APPLN. INFO.: JP 1991-320853 A 19910930
JP 1993-506780 A3 19920930
JP 1997-195696 A3 19920930
WO 1992-JP1258 A 19920930
US 1994-196110 A3 19940218
US 1995-408867 A3 19950323
OTHER SOURCE(S): MARPAT 119:203427
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R1-R4 = H, halo, (halo)alkyl, (un)substituted cycloalkyl, alkoxy, etc.; R5 = H, OH, hydrazino, alkyl, (un)substituted cycloalkyl, alkoxy, etc.; R6 = H, halo, OH, cyano, alkyl, alkoxy, alkenyl, etc.; A = benzene ring, pyridine ring, cyclohexane ring; B = pyridine ring, pyrimidine ring, imidazole ring], useful for treatment of ischemia, heart attack, hypertension, cardiac insufficiency, and asthma (no data), are prepd. E.g., a mixt. of 4-hydroxy-6-carbamoylquinazoline, SOCl2, and POCl3 was reflexed for 20 h to give 4-chloro-6-cyanoquinazoline. 4-(4-Methoxybenzyl)amino-6,7,8-trimethoxyquinazoline (also prepd.) had an IC50 of 1.0 μM against phosphodiesterase in an in vitro study.
IT **150452-72-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as phosphodiesterase inhibitor)
RN 150452-72-5 CAPLUS
CN 1H-Benzimidazole, 1-(1,3-benzodioxol-5-ylmethyl)-6-chloro-2-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



L70 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:517178 CAPLUS
DOCUMENT NUMBER: 119:117178
TITLE: Synthesis and antiinflammatory and analgesic properties of 2-amino-1H-benzimidazole and 1,2-dihydro-2-iminocycloheptimidazole derivatives
AUTHOR(S): Taniguchi, Kiyoshi; Shigenaga, Shinji; Ogahara, Takatomo; Fujitsu, Takashi; Matsuo, Masaaki
CORPORATE SOURCE: New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1993), 41(2), 301-9
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

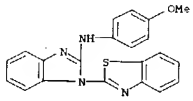


AB 2-Amino-1H-benzimidazoles I (R1 = 2-methyl-4-quinolyl, 4-MeOC6H4, 2-benzothiazolyl; R2 = cyclohexyl, 4-MeOC6H4) and 1,2-dihydro-2-iminocycloheptimidazoles II (R1 = 2-methyl-4-quinolyl, 4-pyridyl, 2-pyridyl, 2-thiazolyl, etc.; R2 = 2-methyl-4-quinolyl, 2-benzothiazolyl, 1H-benzimidazolyl-2-yl, etc.) were synthesized and evaluated for antiinflammatory and analgesic activity. I were synthesized via phenylthioureas or 2-chloro-1H-benzimidazole. II were synthesized by two methods: the reaction of carbodiimides with 2-amino-2,4,6-cycloheptatrien-1-one, or the reaction of guanidines with 2-chloro-2,4,6-cycloheptatrien-1-one. Some I and II compds. exhibited potent antiinflammatory and analgesic activities when compared to timegadine or tiaramide hydrochloride. II (R1 = 2-benzothiazolyl, R2 = cyclohexyl) showed superior analgesic activity to both timegadine and tiaramide HCl (50% edema inhibition = 1.7 mg/kg when given orally in the acetic acid-induced writhing test; 14.0 mg/kg orally in the Randall-Selitto method) in spite of having no effect on prostaglandin E2 synthesis. Crystal structure data for some II compds. are presented.
IT **148793-72-0P 148793-73-1P 148806-76-2P 148806-79-5P 148806-85-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and analgesic and antiinflammatory properties of)
RN 148793-72-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(2-benzothiazolyl)-N-cyclohexyl-, monohydrochloride (9CI) (CA INDEX NAME)



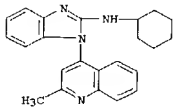
● HCl

RN 148793-73-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(2-benzothiazolyl)-N-(4-methoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



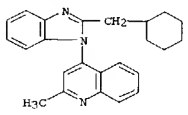
● HCl

RN 148806-76-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-cyclohexyl-1-(2-methyl-4-quinolinyl)- (9CI) (CA INDEX NAME)

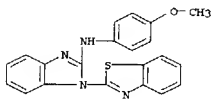


RN 148806-79-5 CAPLUS
CN Quinoline, 4-[2-(cyclohexylmethyl)-1H-benzimidazol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

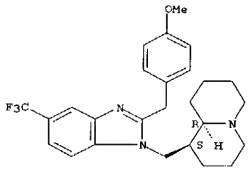
L70 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 148806-85-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(2-benzothiazolyl)-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

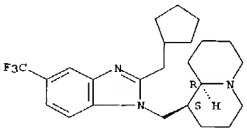


L70 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



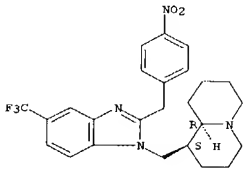
RN 137756-15-1 CAPLUS
CN 2H-Quinolizine, 1-[[2-(cyclopentylmethyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]octahydro-, (1S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L70 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:186 CAPLUS
DOCUMENT NUMBER: 116:186
TITLE: Preparation and pharmacological activity of some 1-lupinylbenzimidazoles and 1-lupinylbenzotriazoles
AUTHOR(S): Boido, Alessandro; Vazzana, Iana; Sparatore, Fabio; Cenicola, Maria Luigia; Donnoli, Donato; Marmo, Emilio
CORPORATE SOURCE: Ist. Sci. Farm., Univ. Genova, Genoa, 16132, Italy
SOURCE: Farmaco (1991), 46(6), 775-88
CODEN: FRMCE8; ISSN: 0014-827X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Twelve new 1-lupinylbenzimidazole and 1-lupinylbenzotriazole derivs. were prepared and, together with some previously described analogs, were tested for analgesic (hot-plate test), anti-inflammatory (against carrageenan edema), diuretic, and antihypertensive (in spontaneously hypertensive rats) activities. Several compds. exhibited a good degree of activity in one or in more than one areas.
IT **137739-77-6P 137739-80-1P 137756-15-1P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation and pharmacol. of, structure in relation to)
RN 137739-77-6 CAPLUS
CN 2H-Quinolizine, octahydro-1-[[2-[(4-nitrophenyl)methyl]-5-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]-, (1S-trans)- (9CI) (CA INDEX NAME)

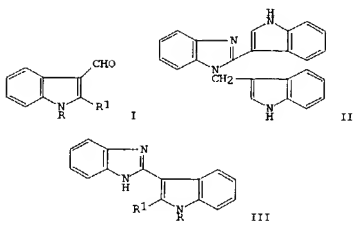
Absolute stereochemistry.



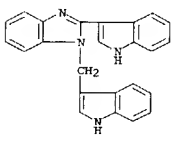
RN 137739-80-1 CAPLUS
CN 2H-Quinolizine, octahydro-1-[[2-[(4-methoxyphenyl)methyl]-5-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]-, (1S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L70 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:515177 CAPLUS
DOCUMENT NUMBER: 113:115177
TITLE: The reaction of o-phenylenediamine with 3-formylindoles
AUTHOR(S): Nguyen Minh Thao; Yurovskaya, M. A.; Rundel, Yu. G.
CORPORATE SOURCE: USSR
SOURCE: Vestnik Moskovskogo Universiteta, Seriya 2: Khimiya (1990), 31(1), 62-4
CODEN: VMUKA5; ISSN: 0579-9384
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 113:115177
GI



AB The reaction of o-phenylenediamine with 3-formylindole (I; R = R1 = H) gave benzimidazoles II and III (R = R1 = H) in 65 and 22% yield, resp. Similarly, I (R = H, R1 = Me; R = Me, R1 = H; R = CH2Ph, R1 = H) reacted with o-phenylenediamine to give 21-40% III. When S was present, the yields of III increased to 69-86%.
IT **129157-71-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 129157-71-7 CAPLUS
CN 1H-Benzimidazole, 2-(1H-indol-3-yl)-1-(1H-indol-3-ylmethyl)- (9CI) (CA INDEX NAME)



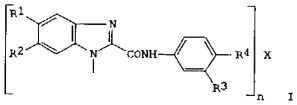
L70 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L70 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:497603 CAPLUS
DOCUMENT NUMBER: 113:97603
TITLE: Preparation of benzimidazole-2-carboxanilides as light stabilizers
INVENTOR(S): Spang, Peter; Neumann, Peter; Wagenblast, Gerhard; Trauth, Hubert
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 3828537 | A1 | 19900301 | DE 1988-3828537 | 19880823 |
| CA 1334420 | A1 | 19950214 | CA 1989-608287 | 19890814 |
| US 5008397 | A | 19910416 | US 1989-393962 | 19890815 |
| EP 358025 | A1 | 19900314 | EP 1989-115356 | 19890819 |
| EP 358025 | B1 | 19940720 | | |
| R: BE, CH, DE, ES, FR, GB, IT, LI, NL | | | | |
| JP 03002171 | A2 | 19910108 | JP 1989-215143 | 19890823 |

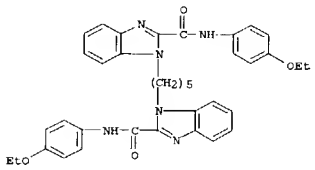
PRIORITY APPLN. INFO.: DE 1988-3828537 19880823
OTHER SOURCE(S): CASREACT 113:97603; MARPAT 113:97603
GI



I

AB The title compds. [I; R1, R2 = H, Cl, alkyl, alkoxy, phenylalkyl, (un)substituted Ph; R3, R4 = H, alkyl, alkoxy, phenylalkyl, (un)substituted Ph, PhO, etc.; R3R4 = OCH2O, OCH2CH2O; when n 1, X (hydroxy)alkyl, cycloalkyl, alkenyl, acyloxyalkyl, etc.; when n = 2, X = (hydroxy)alkylene, (hydroxy)cycloalkylene, alkenylene, alkylenebis(carbonyloxyalkyl), methylenebis(phenylenediyl), etc.] were prepared Thus, 4'-ethoxybenzimidazole-2-carboxanilide was heated 6.5 h with 1-bromooctane in DMF containing KHCO3 to give I (R1 = R2 = R3 = H, R4 = OEt) which gave Yellowness Index (ASTM D 1925) of 12.0 (control = 24.1) in a polyurethane sample after 48 h irradiation
IT **128813-90-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as light stabilizer)
RN 128813-90-1 CAPLUS
CN 1H-Benzimidazole-2-carboxamide, 1,1'-(1,5-pentanediyl)bis[N-(4-ethoxyphenyl)- (9CI) (CA INDEX NAME)

L70 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L70 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN

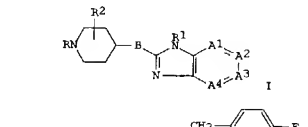
ACCESSION NUMBER: 1988:437821 CAPLUS
DOCUMENT NUMBER: 109:37821
TITLE: Preparation of 4-[(bicyclic heterocyclyl)methyl]piperidines and analogs as antihistaminics
INVENTOR(S): Janssens, Frans E.; Kennis, Ludo E. J.; Hens, Jozef F.; Torremans, Joseph L. G.; Diels, Gaston S. M.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 571,135, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4695575 | A | 19870922 | US 1985-747754 | 19850624 |
| ES 539281 | A1 | 19870616 | ES 1984-539281 | 19841231 |
| AU 8537364 | A1 | 19850912 | AU 1985-37364 | 19850107 |
| AU 573673 | B2 | 19880616 | | |
| CA 1259609 | A1 | 19890919 | CA 1985-471589 | 19850107 |
| FI 8500079 | A | 19850710 | FI 1985-79 | 19850108 |
| FI 83867 | B | 19910531 | | |
| FI 83867 | C | 19910910 | | |
| NO 8500085 | A | 19850710 | NO 1985-85 | 19850108 |
| NO 160849 | B | 19890227 | | |
| NO 160849 | C | 19890607 | | |
| DK 8500089 | A | 19850710 | DK 1985-89 | 19850108 |
| JP 60185777 | A2 | 19850921 | JP 1985-479 | 19850108 |
| JP 07068240 | B4 | 19950726 | | |
| HU 36471 | A2 | 19850930 | HU 1985-61 | 19850108 |
| HU 200338 | B | 19900528 | | |
| ZA 8500187 | A | 19860827 | ZA 1985-187 | 19850108 |
| RO 90622 | B3 | 19861210 | RO 1985-117252 | 19850108 |
| SU 1396964 | A3 | 19880515 | SU 1985-3836858 | 19850108 |
| IL 74018 | A1 | 19880831 | IL 1985-74018 | 19850108 |
| PL 145710 | B1 | 19881031 | PL 1985-251488 | 19850109 |
| US 4839374 | A | 19890613 | US 1987-94987 | 19870910 |

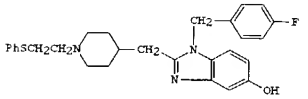
PRIORITY APPLN. INFO.: US 1984-569369 19840109
US 1984-671135 19841113
US 1985-747754 19850624

OTHER SOURCE(S): CASREACT 109:37821
GI

L70 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



II

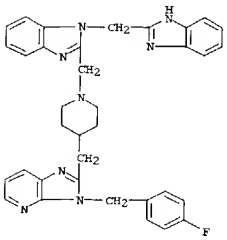
AB The title compds. [I; 3 of A1-A4 = (un)substituted CH, the 4th = N, (un)substituted CH; B = CH2, O, SO, SO2; R = substituted C1-6 alkyl, alkoxy, alkylthio, amino, pyrrolidinyl, piperidinyl, hexahydroazepinyl, etc.; R1 = H, alkyl, cycloalkyl, (un)substituted aryl, heteroaryl, (hetero)aralkyl; R2 = H, alkyl] and their stereoisomers and acid salts were prepared as antihistaminics and serotonin antagonists. 1-[(4-Fluorophenyl)methyl]-2-(4-piperidinylmethyl)-1H-benzimidazol-5-ol and PhSCH2CH2Br were refluxed 2 h in Me2CHCH2COMe containing Na2CO3 to give 27.8% benzimidazole derivative (II). I inhibited compound 48/80-induced lethality in rats, caused by histamine release, with ED50 of 0.005-0.16 mg/kg s.c. or orally. I also inhibited gastric lesions caused by simultaneous release of serotonin.

IT **99963-46-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihistaminic)

RN 99963-46-9 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-4-piperidinyl]methyl]-3-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

L70 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L70 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN

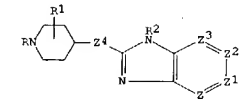
ACCESSION NUMBER: 1986:68861 CAPLUS
DOCUMENT NUMBER: 104:68861
TITLE: (Piperidinylmethyl)- and (piperidinyloxy)benzimidazoles and -imidazopyridines
INVENTOR(S): Janssens, Frans Eduard; Kennis, Ludo Edmond Josephine; Hens, Jozef Francis; Torremans, Joseph Leo G.; Diels, Gaston Stanislas M.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 140 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 151826 | A1 | 19850821 | EP 1984-201851 | 19841213 |
| EP 151826 | B1 | 19930331 | | |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| AT 87626 | E | 19930415 | AT 1984-201851 | 19841213 |
| ES 539281 | A1 | 19870616 | ES 1984-539281 | 19841231 |
| AU 8537364 | A1 | 19850912 | AU 1985-37364 | 19850107 |
| AU 573673 | B2 | 19880616 | | |
| CA 1259609 | A1 | 19890919 | CA 1985-471589 | 19850107 |
| FI 8500079 | A | 19850710 | FI 1985-79 | 19850108 |
| FI 83867 | B | 19910531 | | |
| FI 83867 | C | 19910910 | | |
| NO 8500085 | A | 19850710 | NO 1985-85 | 19850108 |
| NO 160849 | B | 19890227 | | |
| NO 160849 | C | 19890607 | | |
| DK 8500089 | A | 19850710 | DK 1985-89 | 19850108 |
| JP 60185777 | A2 | 19850921 | JP 1985-479 | 19850108 |
| JP 07068240 | B4 | 19950726 | | |
| HU 36471 | A2 | 19850930 | HU 1985-61 | 19850108 |
| HU 200338 | B | 19900528 | | |
| ZA 8500187 | A | 19860827 | ZA 1985-187 | 19850108 |
| RO 90622 | B3 | 19861210 | RO 1985-117252 | 19850108 |
| SU 1396964 | A3 | 19880515 | SU 1985-3836858 | 19850108 |
| IL 74018 | A1 | 19880831 | IL 1985-74018 | 19850108 |
| PL 145710 | B1 | 19881031 | PL 1985-251488 | 19850109 |

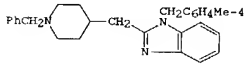
PRIORITY APPLN. INFO.: US 1984-569369 19840109
US 1984-671135 19841113
EP 1984-201851 19841213

GI

L70 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



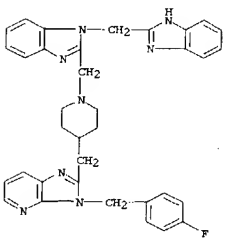
II

AB The title compds. I (Z-Z3 = CH, or one of Z-Z3 is N and the remainder are CH; Z4 = CH2, O, S, SO, SO2; R = alkyl, aryl-, heteroaryl-, acyl-hydroxy-, aryloxy, heteroaryloxy-, alkoxy-, arylthio-, carbonyl-, carboalkoxy-, cyano-, amino-, ureido-, thioureido-, or guanidinoalkyl, cycloalkyl, alkenyl, arylalkenyl; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, aryl, heteroaryl, aryl- or heteroarylalkyl), which were prepared, exhibited antihistaminic activity. Thus, a mixture of 2-(4-MeC6H4CH2NH)C6H4NH2 and Et 1-benzyl-4-piperidineacetimidate hydrochloride in MeOH was refluxed and NH3 was added to give benzimidazole II.

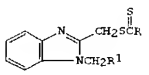
IT **99963-46-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 99963-46-9 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[[1-(1H-benzimidazol-2-ylmethyl)-1H-benzimidazol-2-yl]methyl]-4-piperidinyl]methyl]-3-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



L70 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:79481 CAPLUS
DOCUMENT NUMBER: 100:79481
TITLE: 1,2-Disubstituted benzimidazole derivatives as potential biodynamic agents
AUTHOR(S): Pandey, V. K.; Lohani, H. C.; Agarwal, Akhilesh K.
CORPORATE SOURCE: Dep. Chem., Lucknow Univ., Lucknow, India
SOURCE: Indian Drugs (1983), 21(2), 59-62
CODEN: INDRBA; ISSN: 0019-462X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



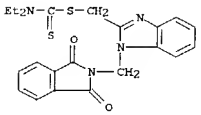
I

AB Some 1-methylaryl-2-(aminodithiocarbamoylmethyl)benzimidazoles (I; R = NEt2, anilino, or morpholino; R1 = benzamido, phthalimido, 2,5-dihydroxyphenyl, or α-(β-naphthyl)) were synthesized and evaluated for their antimicrobial activity against Staphylococcus aureus, Serratia marcescens, Aspergillus niger, A. flavus, and Fusarium moniliforme. The compds. were also tested for their effect on the central nervous system (CNS). The presence of a benzamidomethyl or dihydroxyphenylmethyl group at position 1 of the benzimidazole nucleus is required for a CNS-depressant effect. Replacement of the NEt2 group with a morpholino group does not alter the depressant effect. Antibacterial activity is mainly associated with the phthalimidomethyl group at position 1, while the antifungal activity is due to the presence of the diethylaminodithiocarbamoylmethyl group at position 2 of the benzimidazole nucleus.

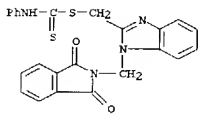
IT **88797-50-6P 88797-53-9P 88797-55-1P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation and pharmacol. of)

RN 88797-50-6 CAPLUS
CN Carbamodithioic acid, diethyl-, [1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-1H-benzimidazol-2-yl]methyl ester (9CI) (CA INDEX NAME)

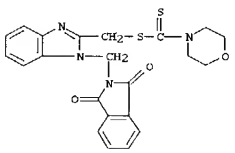
L70 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



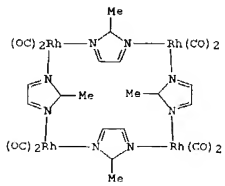
RN 88797-53-9 CAPLUS
CN Carbamodithioic acid, phenyl-, [1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-1H-benzimidazol-2-yl]methyl ester (9CI) (CA INDEX NAME)



RN 88797-55-1 CAPLUS
CN 4-Morpholinecarbodithioic acid, [1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-1H-benzimidazol-2-yl]methyl ester (9CI) (CA INDEX NAME)



L70 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:143053 CAPLUS
DOCUMENT NUMBER: 96:143053
TITLE: Imidazolate bridged polynuclear rhodium(I) complexes. X-ray structure of [Rh(2-methylimidazolate)(CO)2]4
AUTHOR(S): Tiripicchio, A.; Camellini, M. Tiripicchio; Uson, R.; Oro, L. A.; Ciriano, M. A.; Pinillos, M. T.
CORPORATE SOURCE: Ist. Chim. Gen. Inorg., Univ. Parma, Parma, Italy
SOURCE: Journal of Organometallic Chemistry (1982), 224(2), 207-16
CODEN: JORCAI; ISSN: 0022-328X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



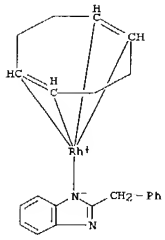
I

AB The synthesis and properties of polynuclear complexes of general formula [M(RIm)(diolefin)]x, [M(RIm)(CO)2]x and [M(RIm)(CO)L]x [M = Rh, Ir; RIm = imidazolate, 2-methylimidazolate, 2-benzylbenzimidazolate; L = PPh3, P(OPh)3] are reported. The crystal structure of [Rh(2-MeIm)(CO)2]4 (2-MeIm = 2-methylimidazolate) (I) has been determined by x-ray methods.

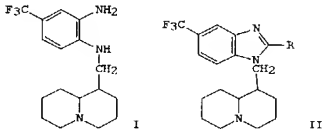
IT **81240-06-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 81240-06-4 CAPLUS
CN Rhodium, [(1,2,5,6-η)-1,5-cyclooctadiene][2-(phenylmethyl)-1H-benzimidazolato-N1]- (9CI) (CA INDEX NAME)

L70 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L70 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:620657 CAPLUS
DOCUMENT NUMBER: 93:220657
TITLE: Benzimidazole derivatives with antiinflammatory activity
AUTHOR(S): Boido, A.; Vazzana, I.; Sparatore, F.
CORPORATE SOURCE: Ist. Policattedra Sci. Farm., Univ. Genova, Genoa, Italy
SOURCE: Studi Sassaresi, Sezione 2: Archivio Bimestrale di Scienze Mediche e Naturali (1979), 57(5-6), 801-10
CODEN: SSSEAX; ISSN: 0371-3172
DOCUMENT TYPE: Journal
LANGUAGE: Italian
GI

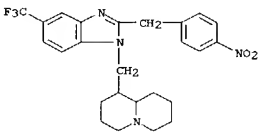


I II

AB The o-phenylenediamine derivative I reacted with acid chlorides and imidate esters to yield benzimidazoles II [R = 4-O2NC6H4CH2, Ph, 4-R1C6H4 (R1 = Cl, OMe, NO2), cyclopentylmethyl, 1-cyclopentenylmethyl, Pr, CHMe2, CF3], useful as antiinflammatory agents and sedatives (no data). A mixture of I, PhCOCl, and dioxane was refluxed 4 h to give II (R = Ph).

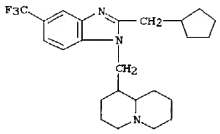
IT **75584-65-5P 75584-72-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 75584-65-5 CAPLUS
CN 2H-Quinolizine, octahydro-1-[[2-[(4-nitrophenyl)methyl]-5-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

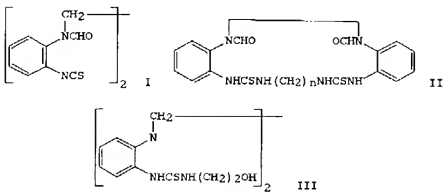


RN 75584-72-4 CAPLUS
CN 2H-Quinolizine, 1-[[2-(cyclopentylmethyl)-5-(trifluoromethyl)-1H-

L70 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
benzimidazol-1-yl]methyl]octahydro- (9CI) (CA INDEX NAME)



L70 ANSWER 30 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:181145 CAPLUS
DOCUMENT NUMBER: 92:181145
TITLE: Reactions of heterocycles with thiophosgene. Part 8. Reactions of N,N'-(bis-2-isothiocyanatophenyl)-N,N'-difermyl-1,2-diaminoethane
AUTHOR(S): Hull, Roy; Hollywood, Frank; Suschitzky, Hans
CORPORATE SOURCE: Pharm. Div., ICI Ltd., Macclesfield, SK10 4TG, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1979), (12), 3037-41
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 92:181145
GI



I II



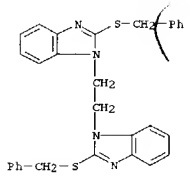
III

AB The reactions of the diaminoethane I, prepared by fission of 1,2-bis(benzimidazol-1-yl)ethane with thiophosgene and base, are reported with a variety of nucleophiles. Aliphatic diamines H2N(CH2)nNH2 (n = 2, 3, 4, 6) reacted with I to give 16-, 17-, 18-, and 20-membered rings II, resp. Reaction of I with primary or secondary amines gave the expected thioureas but reaction with bidentate nucleophiles, e.g. β-aminoethanol, gave the unexpected bis-thioureas, e.g. III.

IT **73093-41-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 73093-41-1 CAPLUS
CN 1H-Benzimidazole, 1,1'-(1,2-ethanediyl)bis[2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

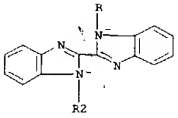
L70 ANSWER 30 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

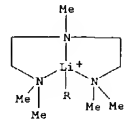


L70 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:490203 CAPLUS
DOCUMENT NUMBER: 89:90203
TITLE: Synthesis, electron paramagnetic resonance, and magnetic studies of binuclear bis(η5-cyclopentadienyl)titanium(III) compounds with bridging pyrazolate, biimidazolate, and bibenzimidazolate anions
AUTHOR(S): Fieselmann, Benjamin F.; Hendrickson, David N.; Stucky, Galen D.
CORPORATE SOURCE: Sch. Chem. Sci., Univ. Illinois, Urbana, IL, USA
SOURCE: Inorganic Chemistry (1978), 17(8), 2074-84
CODEN: INOCAJ; ISSN: 0020-1669
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The preparation and characterization of three binuclear Ti(III) complexes, [(η5-C5H5)2Ti]2(BiIm), [(η5-C5H5)2Ti]2(BiBzIm), and [(η5-C5H5)2Ti(pz)]2 (BiIm2- is the dianion of 2,2'-biimidazole, BiBzIm2- is the dianion of 2,2'-bibenzimidazole, and pz- is the anion of pyrazole) are reported. The first two air-sensitive compds. are thermally quite stable due to the bis-bidentate nature of the bridging anions, BiIm2- and BiBzim2-. Antiferromagnetic exchange interactions are present in the first two complexes. In contrast, the bis(pyrazolate)-bridged dimer acts as a normal paramagnetic with no signs of an antiferromagnetic interaction. Frozen-glass EPR spectra of all three binuclear Ti(III) complexes are characteristic of triplet-state spectra with appreciable zero-field splittings. Excellent agreement between actual Ti-Ti distances from crystal structures and the calculated distances based on the observed D values is obtained.
IT **66652-61-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 66652-61-7 CAPLUS
CN Lithium, [μ-[2,2'-bi-1H-benzimidazolato(2-)-N1:N1']]bis[N-[2-(dimethylamino)ethyl]-N,N',N'-trimethyl-1,2-ethanediamine-N,N',N'']di- (9CI) (CA INDEX NAME)

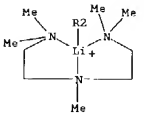
L70 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A

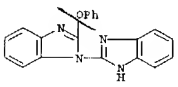




PAGE 2-A



L70 ANSWER 32 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:458759 CAPLUS
DOCUMENT NUMBER: 83:58759
TITLE: Tris(benzimidazo)-1,3,5-triazine from the thermolysis of 2-aryloxybenzimidazoles
AUTHOR(S): Ishida, Sadahiro; Fukushima, Yoshiaki; Sekiguchi, Shizen; Matsui, Kohji
CORPORATE SOURCE: Dep. Chem., Gunma Univ., Kiryu, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1975), 48(3), 956-9
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 83:58759
GI For diagram(s), see printed CA Issue.
AB 2-Aryloxybenzimidazoles gave tris(benzimidazo)-[1,2-a:1',2'-c:1'',2''-e]-1,3,5-triazine (I) and PhOH in almost quant. yields upon heating at 230-250°C, while in the reaction in cumene small amts. of 2-aryloxy-1,2'-dibenzimidazole and dicumyl were obtained along with the major products. 2-Phenylthiobenzimidazole gave diphenyl disulfide and 1,2'-dibenzimidazole, together with I. The thermolysis proceeds by step-by-step radical processes involving the formation of such intermediates as 2-aryloxy-1,2'-dibenzimidazole and 2-aryloxy-1,2'-1',2''-tribenzimidazole.
IT **56176-20-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 56176-20-6 CAPLUS
CN 1,2'-Bi-1H-benzimidazole, 2-phenoxy- (9CI) (CA INDEX NAME)



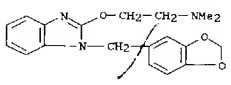
L70 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:156308 CAPLUS
DOCUMENT NUMBER: 82:156308
TITLE: Benzimidazole derivatives
INVENTOR(S): Hasegawa, Hajime; Tsuda, Nobutada; Hasoya, Masahiro
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd.
SOURCE: Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 49041198 | B4 | 19741107 | JP 1970-26357 | 19700328 |
| PRIORITY APPLN. INFO.: | | | JP 1970-26357 | 19700328 |

GI For diagram(s), see printed CA Issue.
AB Twenty-three benzimidazoles [I, R = CH2Ph, CH2C6H4Cl-p, etc., R1 = O(CH2)3NMe2, OCH2CH2NMe2, 3-morpholinopropoxy, SCH2CH2NMe2, S(CH2)3NMe2, S(CH2)2NHMe, SCH2CH2N(CH2Ph)2, etc., R2 = H, 6-Cl, 5-MeO, etc.] or their salts, useful as antihistaminics, analgesics, and inflammation inhibitors (no data), were prepared by treating the chloro derivative (I, R1 = Cl) with the appropriate alc. or thiol in the presence of NaH. For example, NaOCH2CH2NMe2 (obtained from 8.9 g HOCH2CH2NMe2 and 4.8 g NaH) was refluxed with I (R = CH2Ph, R1 = Cl, R2 = H) (21.2 g) in benzene for 4 hr and the product treated with (CO2H)2 to give 20 g I (R = CH2Ph, R1 = OCH2CH2NMe2, R2 = H)·(CO2H)2.
IT **55473-88-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 55473-88-6 CAPLUS
CN Ethanamine, 2-[[1-(1,3-benzodioxol-5-ylmethyl)-1H-benzimidazol-2-yl]oxy]-N,N-dimethyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

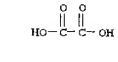
CM 1

CRN 55473-87-5
CMF C19 H21 N3 O3



CM 2

CRN 144-62-7
CMF C2 H2 O4



L70 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L70 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN

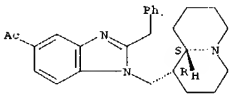
ACCESSION NUMBER: 1973:87 CAPLUS
DOCUMENT NUMBER: 78:87
TITLE: Dialkylaminoalkylbenzimidazoles of pharmacological interest
AUTHOR(S): Paglietti, G.; Sparatore, F.
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Sassari, Sassari, Italy
SOURCE: Studi Sassaresi, Sezione 2: Archivio Bimestrale di Scienze Mediche e Naturali (1971), 49(5-6), 192-203
CODEN: SSSEAK; ISSN: 0371-3172
DOCUMENT TYPE: Journal
LANGUAGE: Italian

AB The synthesis and pharmacol. activity of 20 1-[(dialkylamino)alkyl]-2-(4'-substitutedbenzyl)-5-acetylbenzimidazoles (I, R = (dialkylamino)alkyl, R' = H, Cl, MeO, or EtO) are reported. Most of the compds. tested pharmacol. had analgesic activity; the most active was 1-[(dimethylamino)ethyl]-2-[(4-methoxy)benzyl]-5-acetylbenzimidazole (I, R = Me2NCH2CH2, R' = MeO) [37401-78-8], which also had antimycobacterial activity in vitro at 12.5 μg/ml. No specific configuration of the basic side chain was required for analgesic action, but rather the totality of the groups at positions 1, 2, and 5 was determinant. For the synthesis, 3-nitro-4-bromoacetophenone was reacted with RNH2 to give 2-nitro-4-acetyl-N-[(dialkylamino)alkyl]anilines which, after reduction to the 2-amino compds. with H2/Pd, were reacted with 4-R'-PhCH2C(:NH)OEt.HCl to give the desired benzimidazoles.

IT **40431-88-7 40431-89-8 40431-90-1**
**40431-91-2**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacology of)

RN 40431-88-7 CAPLUS
CN Ethanone, 1-[1-[(octahydro-2H-quinolizin-1-yl)methyl]-2-(phenylmethyl)-1H-benzimidazol-5-yl]-, (1R-trans)- (9CI) (CA INDEX NAME)

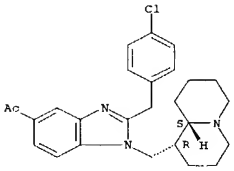
Absolute stereochemistry.




RN 40431-89-8 CAPLUS
CN Ethanone, 1-[2-[(4-chlorophenyl)methyl]-1-[(octahydro-2H-quinolizin-1-yl)methyl]-1H-benzimidazol-5-yl]-, (1R-trans)- (9CI) (CA INDEX NAME)

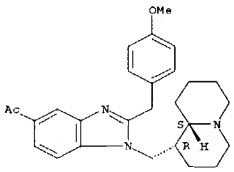
Absolute stereochemistry.

L70 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



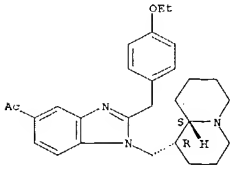

RN 40431-90-1 CAPLUS
CN Ethanone, 1-[2-[(4-methoxyphenyl)methyl]-1-[(octahydro-2H-quinolizin-1-yl)methyl]-1H-benzimidazol-5-yl]-, (1R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



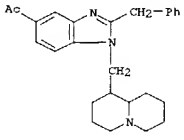

RN 40431-91-2 CAPLUS
CN Ethanone, 1-[2-[(4-ethoxyphenyl)methyl]-1-[(octahydro-2H-quinolizin-1-yl)methyl]-1H-benzimidazol-5-yl]-, (1R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

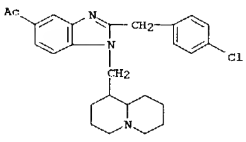



L70 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L70 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:448338 CAPLUS
DOCUMENT NUMBER: 77:48338
TITLE: Dialkylaminoalkylbenzimidazoles of pharmacological interest. III
AUTHOR(S): Paglietti, G.; Sparatore, F.
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Sassari, Sassari, Italy
SOURCE: Farmaco, Edizione Scientifica (1972), 27(4), 333-42
CODEN: FRFSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: Italian
GI For diagram(s), see printed CA Issue.
AB 5-Acetyl-2-nitroanilines (I) (n = 2,3; R = Me, Et) are hydrogenated over Pd to give the corresponding phenylenediamines which are heated with the imino esters (II) (R1 = H, Cl, OMe, OEt)in HOAc to give 16 benzimidazoles (III). Similarly prepared are IV (R = H, Cl, OMe, OEt).
IT **37429-41-7P 37429-42-8P 37429-43-9P 37429-44-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37429-41-7 CAPLUS
CN Ethanone, 1-[1-[(octahydro-2H-quinolizin-1-yl)methyl]-2-(phenylmethyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

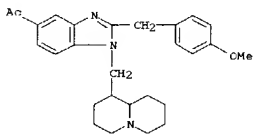


RN 37429-42-8 CAPLUS
CN Ethanone, 1-[2-[(4-chlorophenyl)methyl]-1-[(octahydro-2H-quinolizin-1-yl)methyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

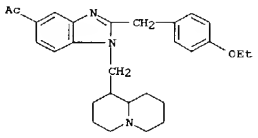


RN 37429-43-9 CAPLUS

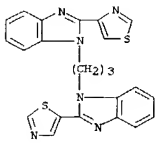
L70 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Ethanone, 1-[2-[(4-methoxyphenyl)methyl]-1-[(octahydro-2H-quinolizin-1-yl)methyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



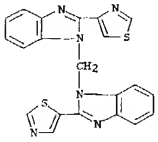
RN 37429-44-0 CAPLUS
CN Ethanone, 1-[2-[(4-ethoxyphenyl)methyl]-1-[(octahydro-2H-quinolizin-1-yl)methyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



L70 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:510235 CAPLUS
DOCUMENT NUMBER: 75:110235
TITLE: Reaction of 2-(4-thiazolyl)benzimidazole (thiabendazole) with alkyl halides
AUTHOR(S): Maynard, Judith A.; Rae, I. D.; Rash, D.; Swan, J. M.
CORPORATE SOURCE: Dep. Chem., Monash Univ., Clayton, Australia
SOURCE: Australian Journal of Chemistry (1971), 24(9), 1873-81
CODEN: AJCHAS; ISSN: 0004-9425
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 2-(4-Thiazolyl)benzimidazole (thiabendazole) is alkylated at a benzimidazole N by reaction with NaH and an alkyl halide. With 1,3-dibromopropane and 1,2-dibromoethane, the thiazole N is also alkylated to give quaternary salts containing the 6,7-dihydro-5H-thiazolo[3',4'.1,2][1,4]diazepino[8,9-a]benzimidazole and 5,6-dihydrothiazolo[3,'4'.1,2]pyrazino[7,8-a]benzimidazole ring systems, resp. The structures proposed for these tetracyclic products are supported by spectroscopic examination of the products formed by alkali fission of their thiazole rings.
IT **33705-44-1P 33813-38-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 33705-44-1 CAPLUS
CN Benzimidazole, 2-(4-thiazolyl)-2'-(5-thiazolyl)-1,1'-trimethylenebis- (8CI) (CA INDEX NAME)

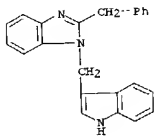


RN 33813-38-6 CAPLUS
CN Benzimidazole, 2-(4-thiazolyl)-2'-(5-thiazolyl)-1,1'-methylenebis- (8CI) (CA INDEX NAME)



L70 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L70 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:420286 CAPLUS
DOCUMENT NUMBER: 75:20286
TITLE: Syntheses and mass spectral studies of some benzimidazoles and N-skatyltriazole
AUTHOR(S): Kamal, Ahmad; Qureshi, Asaf A.; Qureshi, Izhar H.; Anjum, Massarat
CORPORATE SOURCE: Chem. Res. Div., Pak. Counc. Sci. Ind. Res. Lab., Karachi, Pak.
SOURCE: Pakistan Journal of Scientific and Industrial Research (1970), 13(4), 341-7
CODEN: PSIRAA; ISSN: 0030-9885
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB N-Skatylbenzimidazole (I), N-skatyl-2-methylbenzimidazole, N-skatyl-2-benzylbenzimidazole, N-skatyl-1,2,3-benzotriazole, and β-(N-benzimidazolyl)ethyl 3-phenanthryl ketone were prepared by the alkylation of gramine with the corresponding benzimidazole or benzotriazole. Mass spectra of these were studied in detail.
IT 32273-69-1P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and mass spectrum of)
RN 32273-69-1 CAPLUS
CN Benzimidazole, 2-benzyl-1-(indol-3-ylmethyl)- (8CI) (CA INDEX NAME)

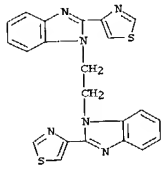


L70 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L70 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:438960 CAPLUS
DOCUMENT NUMBER: 71:38960
TITLE: Bis[2-(4-thiazolyl)-1-benzimidazolyl]alkanes
INVENTOR(S): Aries, Robert
SOURCE: Fr., 4 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 1532237 | | 19680712 | FR | 19660106 |

GI For diagram(s), see printed CA Issue.
AB The title compds. useful as antifungal or antihelminthic agents were prepared by the reaction of suitable benzimidazoles with a saturated dihalogenated hydrocarbon or with a suitable 1-(haloalkyl)benzimidazole in an inert solvent or liquid carrier at elevated temperature. Thus, 40.2 g. 2-(4-thiazolyl)benzimidazole was treated with 0.8 g. MeONa in 50 ml. absolute MeOH. Then 300 ml. HCONMe2 (II) was added and MeOH distilled in vacuo. After addition of 9.9 g. (CH2Cl)2 the mixture was brought slowly to boiling and refluxed 3 hrs. yielding bis[2-(4.thiazolyl) - 1 - benzimidazolyl]ethane. Other prepared compds were: 1,3-bis[2-(4-thiazolyl)-1-benzimidazolyl]methane, 1,2-bis[2-(2-ethoxycarbonyl-4-thiazolyl)-1-benzimidazolyl]ethane, 1,2-bis[2-(4-thiazolyl)-5,6-dichloro-1-benzimidazolyl]ethane, 1,2-bis[2-(4-iso-thiazolyl)-1-benzimidazolyl]ethane, 1,2-bis[2-(1,2,3-thiadiazol-4-yl) - 1 - benzimidazolyl]ethane, 1-[2-(4-thiazolyl) - 5,6 - dimethyl-1-benzimidazolyl]-2-[2-(4-thiazolyl) - 5 - methoxy - 1 - benzimidazolyl]ethane, and 1-[2-(4-thiazolyl)-5,6-dichloro-1-benzimidazolyl]-2[2-(4-thiazolyl)-1-benzimidazolyl]ethane.
IT 22927-59-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 22927-59-9 CAPLUS
CN Benzimidazole, 1,1'-ethylenebis[2-(4-thiazolyl)- (8CI) (CA INDEX NAME)

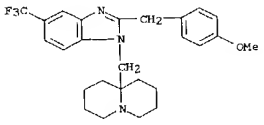


L70 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:486995 CAPLUS
DOCUMENT NUMBER: 69:86995
TITLE: 2-Benzyl-1-(1-quinolizidinylmethyl)-5-(trifluoromethyl)benzimidazoles
INVENTOR(S): Sparatore, Fabio
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 3394141 | A | 19680723 | US 1965-507540 | 19651112 |
| | | | US 1965-507540 | 19651112 |

PRIORITY APPLN. INFO.:
AB Benzyl nitriles are converted, in CHCl3 with EtOH and HCl, to imino ether hydrochlorides which are treated in solution with 5-trifluoromethyl-2-quinolizidinylmethylaminoaniline (I) at 40-60° to give 1-(1-quinolizidinylmethyl)-2-(lower alkoxy)benzyl-5-trifluoromethylbenzimidazoles. The products, and their salts, are useful as antiinflamatory, and antipyretic agents. Thus, 25 g. 1-chloro-2-nitro-4-(trifluoromethyl)benzene was added dropwise to 16.8 g. 1-quinolizidinylmethylamine in 15 ml. HCONMe2 at 140°. The mixture was heated to 195-200° for 90 min., dissolved in 2N HCl, and extracted with ether to give an aqueous acidic solution of the intermediate 5-(trifluoromethyl)-2-(quinolizidinylmethylamino)-nitrobenzene (II) which is made alkaline and extracted with ether to give a product, HCl salt, m. 260°. An H2S saturated (at 0°) solution of NH4OH (200 ml.) was added slowly to 0.05 mole II in 20 ml./g. EtOH and the mixture stirred for 16 hrs. in the absence of air. The solution was evaporated, the residue triturated with 2N HCl, and the S filtered off. The acidic solution was evaporated to give I.HCl. A solution of 0.04 mole p-methoxyphenylacetonitrile (III) in 40 ml. CHCl3 and 2.5 ml. dry EtOH was saturated at 0° with dry HCl, kept at 18-20° for 13-14 hrs., and evaporated in vacuo without heating. The product was mixed with 0.02 mole I in 50 ml. AcOH and stirred at 45° for 16 hrs. A 10 ml. portion of 2N HCl was added and the mixture evaporated, triturated with 50 ml. H2O and a few drops of dilute HCl, and extracted with ether. The acidic aqueous solution was made alkaline with NH4OH and extracted with ether to give 1-(1-quinolizidinylmethyl)-2-(4-methoxybenzyl)-5-(trifluoromethyl)benzimidazole, m. 48°. The compds. also claimed are the 2-(4-alkoxybenzyl) analogs, where alkoxy are ethoxy, propoxy, isopropoxy and butoxy groups.
IT 20069-32-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 20069-32-3 CAPLUS
CN 2H-Quinolizine, octahydro-1-[[2-(p-methoxybenzyl)-6-(trifluoromethyl)-1-benzimidazolyl]methyl]- (8CI) (CA INDEX NAME)

L70 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L70 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1968:459157 CAPLUS
DOCUMENT NUMBER: 69:59157
TITLE: Dialkylaminoalkylbenzimidazoles of pharmacological interest
AUTHOR(S): Sparatore, F.; Boido, V.; Fanelli, F.
CORPORATE SOURCE: Univ. Sassari, Sassari, Italy
SOURCE: Farmaco, Edizione Scientifica (1968), 23(4), 344-59
CODEN: FRFSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: Italian
OTHER SOURCE(S): CASREACT 69:59157

GI For diagram(s), see printed CA Issue.

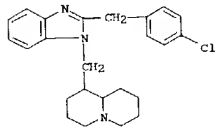
AB A number of dialkylaminoalkybenzimidazoles (I) of pharmacol. interest were synthesized and screened. I were prepared by condensation of the appropriate substituted 1,2-diaminobenzene derivs. (II) with imino esters (III). II were obtained by condensation of a substituted o-chloronitrobenzene with an amine and subsequent reduction of the reaction product. Thus, to 0.25 mole refluxing Et2N(CH2)2NH2 0.1 mole 1-chloro-2-nitro-4-trifluoromethylbenzene was slowly added, and the mixture refluxed and stirred 2 hrs. and worked up to yield 87% N-(2-nitro-4-trifluoromethyl)phenyl-β-diethylaminoethylamine (IV), m. 32°. Similarly prepared were N-(2-nitro-4-trifluoromethyl)phenyl-β-dimethylaminopropylamine (V), bl 150-5° and N-(2-nitro-4-trifluoromethyl)phenyl-β-diethylaminopropylamine (VI), m. 140-2°. A solution of 20 g. aminolupinane in 18 ml. HCONMe2 (DMF) was heated at 140°, stirred, and treated with 30 g. 1-chloro-2-nitro-4-trifluoromethylbenzene, the temperature raised to 200°, and the mixture stirred 90 min. at 200° and worked up to give 63% N-(2-nitro-4-trifluoromethyl)phenyllupinylamine-HCl, m. 260°. Similarly prepared in EtOH as solvent, was 83.3% N-(2,4-dinitrophenyl)lupinylamine, m. 128-30°. A solution of 4 g. IV in 30 ml. EtOH was treated with H at room temperature and 1 atmospheric over 0.4 g. Pd/C to yield quant. N-(2-amino-4-trifluoromethyl)-phenyl-β-diethylaminoethylamine, II, [R = CF3, R1 = (CH2)2NEt2], bl 130-5°. The following II were similarly prepared (R, R1, and m.p. given); CF3, (CH2)2NMe2 164° (3HCl salt); CF3, (CH2)3NEt2, 172-4° (2HCl salt); and CF3, lupinyl, 188-90° (2HCl salt); II.HCl (R = NO2, R1 = lupinyl), m. 222-4° (decomposition), was obtained by reduction of 2,4-dinitrophenyllupinylamine with H2S at 45°. PhCH2CN (3.4 g.) in 34 ml. CHCl3 and 1.7 ml. anhydrous EtOH was saturated at 0° with dry HCl, left overnight, solvent removed in vacuo, and the residue, consisting of the imino ester, treated with 4 g. II (R = CF3, R1 = (CH2)2NEt2) in 34 ml. HOAc, and the mixture warmed at 45°, stirred, refluxed 16 hrs., and worked up to yield 4 g. I (R1 = CF3, R2 = (CH2)2NEt2, R3 = H), m. 65° (C5H12). Similarly prepared were the following I (R1, R2, R3, m.p., and % yield given): CF3, (CH2)2NEt2, Cl, 86°, 70; CF3, (CH2)2NEt2, MeO, 102-3°, 71; CF3, (CH2)2NEt2, OEt, 78-9°, 79; CF3, (CH2)3NMe2, H, 66-7°, 49; CF3, (CH2)3NMe2, Cl, 64-5°, 45; CF3, (CH2)3-NMe2, OMe, 65°, 67; CF3, (CH2)3NMe2, OEt, 75-6°, 80; CF3, (CH2)3NMe2, H, 56-7°, 44; CF3, (CH2)3NEt2, Cl, 56-9°, 29; CF3, (CH2)3NEt2, OMe, 54-5°, 36; CF3, (CH2)3NEt2, OEt, 35° (monohydrate), 59; CF3, lupinyl, H, 55-60° (monohydrate), 73; CF3, lupinyl, Cl, 153-4°, 79; CF3, lupinyl, OMe, 80-1°, 69; CF3, lupinyl, OEt, 48-50°

L70 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

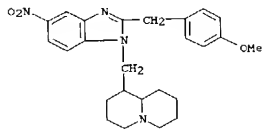
(hemihydrate), 42; H, lupinyl, H, 261-6° (2HCl salt), 45; H, lupinyl, Cl, 119-20°, 65; and H, lupinyl, OMe, 170-4° (decompn.) (2HCl salt sesquihydrate), 52. To 3 g. 2-(p-ethoxybenzyl)imidazole in 20 ml. DMF 0.57 g. NaNH2 was added at 0°, the mixt. stirred 1 hr. at 45° under N, 2.45 g. lupinyl chloride in 10 ml. DMF added and the mixt. heated 3 hrs. at 140° and worked up to yield 64% I (R1 = H, R2 = lupinyl, R3 = OEt), m. 102-3° (Et2O-n-C5H12). The following I were similarly prepd. (R1, R2, R3, m.p., and % yield given): NO2, lupinyl, H, 150-4° 74; NO2, lupinyl, Cl, 124-5°, 69; NO2, lupinyl, OMe, 81-2°, 62; and NO2, lupinyl, OEt, 188-90° (decompn.) (2HCl salt), 59. Most I showed some analgesic properties, in some cases also spasmolytic, hypocholesteremic or local anesthetic action. I (R1 = CF3, R2 = lupinyl, R3 = OMe) showed antiinflammatory activity on oral administration to rats.

IT **17089-47-3P 17089-48-4P 17089-49-5P**
**17089-51-9P 19539-20-9P 19542-11-1P**
**19542-12-2P 19542-14-4P 19542-16-6P**
**19542-18-8P 19869-66-0P 20572-31-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 17089-47-3 CAPLUS
CN 2H-Quinolizine, 1-[[2-[(4-chlorophenyl)methyl]-1H-benzimidazol-1-yl]methyl]octahydro- (9CI) (CA INDEX NAME)

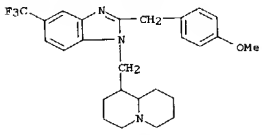


RN 17089-48-4 CAPLUS
CN 2H-Quinolizine, octahydro-1-[[2-[(4-methoxyphenyl)methyl]-5-nitro-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

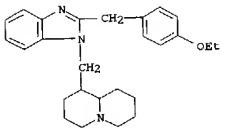


RN 17089-49-5 CAPLUS
CN 2H-Quinolizine, octahydro-1-[[2-[(4-methoxyphenyl)methyl]-5-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

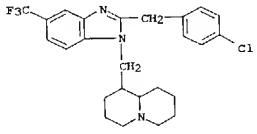
L70 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 17089-51-9 CAPLUS
CN 2H-Quinolizine, 1-[[2-[(4-ethoxyphenyl)methyl]-1H-benzimidazol-1-yl]methyl]octahydro- (9CI) (CA INDEX NAME)

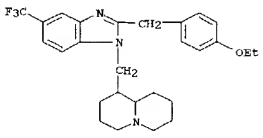


RN 19539-20-9 CAPLUS
CN 2H-Quinolizine, 1-[[2-(p-chlorobenzyl)-5-(trifluoromethyl)-1-benzimidazolyl]methyl]octahydro- (8CI) (CA INDEX NAME)

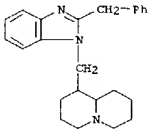


RN 19542-11-1 CAPLUS
CN 2H-Quinolizine, 1-[[2-(p-ethoxybenzyl)-5-(trifluoromethyl)-1-benzimidazolyl]methyl]octahydro- (8CI) (CA INDEX NAME)

L70 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

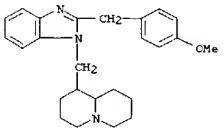


RN 19542-12-2 CAPLUS
CN 2H-Quinolizine, 1-[(2-benzyl-1-benzimidazolyl)methyl]octahydro-, dihydrochloride (8CI) (CA INDEX NAME)



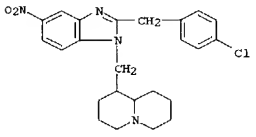
●2 HCl

RN 19542-14-4 CAPLUS
CN 2H-Quinolizine, octahydro-1-[[2-(p-methoxybenzyl)-1-benzimidazolyl]methyl]-, dihydrochloride (8CI) (CA INDEX NAME)

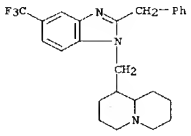


●2 HCl

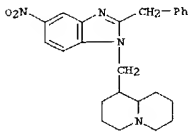
L70 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 20572-31-0 CAPLUS
CN 2H-Quinolizine, 1-[[2-benzyl-5-(trifluoromethyl)-1-benzimidazolyl]methyl]octahydro- (8CI) (CA INDEX NAME)

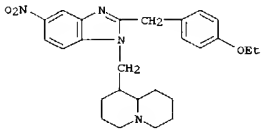


L70 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 19542-16-6 CAPLUS
CN 2H-Quinolizine, 1-[(2-benzyl-5-nitro-1-benzimidazolyl)methyl]octahydro-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 19542-18-8 CAPLUS
CN 2H-Quinolizine, 1-[[2-(p-ethoxybenzyl)-5-nitro-1-benzimidazolyl]methyl]octahydro-, dihydrochloride (8CI) (CA INDEX NAME)



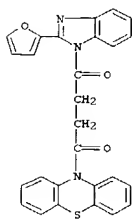
●2 HCl

RN 19869-66-0 CAPLUS
CN 2H-Quinolizine, 1-[[2-(p-chlorobenzyl)-5-nitro-1-benzimidazolyl]methyl]octahydro- (8CI) (CA INDEX NAME)

L70 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:443924 CAPLUS
DOCUMENT NUMBER: 69:43924
TITLE: Benzimidazoles carrying a substitute derived from phenothiazine
PATENT ASSIGNEE(S): Chimetron Sarl.
SOURCE: Fr., 7 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

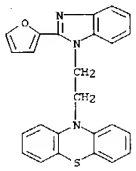
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 1488281 | | 19670713 | FR | 19660329 |

GI For diagram(s), see printed CA issue.
AB Anthelmintic compds. (I) containing in the same mol. a phenothiazine and a benzimidazole nucleus substituted in position were prepared In an example 37.7 g. N-[3-(10-phenothiazinyl)-propyl]-2-nitroaniline in a solution of 250 ml. anhydrous pyridine was treated with 14.8 g. 4-thiazolylcarbonyl chloride over night at room temperature, a dinitranilide was separated and put in 150 ml. EtOH with 50 ml. concentrated HCl. It was treated with H at 3 atms. in the presence of 2 g. of 5% Pd on alumina. Hydrogenation with stirring was stopped when H absorption reached 0.6 g. The pressure was lowered to atms. and the reaction boiled 4 hrs. to give I [X = (CH2)3, R = 4-thiazolyl]. Also prepared were the following I (X and R given): (CH2)2, 2-furyl; COCH2CO, 2-furyl; and 1-[2-(10-phenothiazinyl)ethyl]-5,6-dimethyl-2-(2-chlorophenyl)benzimidazole and 1-(10-phenothiazinylacetyl)-5,6-dichloro-2-phenylbenzimidazole.
IT **19547-75-2P 19652-26-7P 19748-78-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 19547-75-2 CAPLUS
CN Phenothiazine, 10-[3-[[2-(2-furyl)-1-benzimidazolyl]carbonyl]propionyl]- (8CI) (CA INDEX NAME)

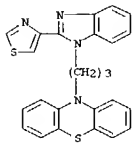


RN 19652-26-7 CAPLUS
CN Phenothiazine, 10-[2-[2-(2-furyl)-1-benzimidazolyl]ethyl]- (8CI) (CA

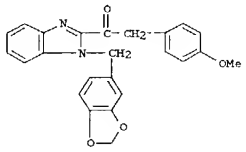
L70 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
INDEX NAME)



RN 19748-78-8 CAPLUS
CN Phenothiazine, 10-[3-[2-(4-thiazolyl)-1-benzimidazolyl]propyl]- (8CI) (CA INDEX NAME)



L70 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:473556 CAPLUS
DOCUMENT NUMBER: 67:73556
TITLE: Reaction of pyruvic acid with o-diamines. III. Synthesis of 2-(α-oxoalkyl)benzimidazoles
AUTHOR(S): Zellner, Hugo; Zellner, Gertraud; Koeppl, F.; Dirnberger, J.
CORPORATE SOURCE: Forschungslab. "Donau-Pharmazie" G.m.b.H., Linz, Austria
SOURCE: Monatshefte fuer Chemie (1967), 98(3), 643-65
CODEN: MOCHAP
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Ph-substituted AcCO2H reacted with o-C6H4(NH2)2 to give aromatic ring-substituted α-oxoethylbenzimidazoles, in addition to 3-benzyl-1,2-dihydroquinoxalin-2-ones (Helv. Chim. Acta 49, 913(1966)) and benzylbenzimidazoles. Thus, Ph2CHCOCO2H treated with o-C6H4(NH2)2 gave 2-(β,β-diphenyl-α-oxoethyl)benzimidazole (I). α-Oxoalkylphenylbenzimidazoles were also prepared from α-hydroxyalkylphenylbenzimidazoles by oxidation with CrO3 (Bistrzycki and Przewarski, CA 7: 2393) or with SeO2. 2-[β-(4-Methoxyphenyl)-α-oxoethyl]benzimidazole was prepared from 2-[β-(4-methoxyphenyl)-α-hydroxyethyl]benzimidazole by reduction with (iso-PrO)3Al (Woodward and Kornfeld, CA 43: 1411i).
IT **15449-99-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 15449-99-7 CAPLUS
CN Ketone, p-methoxybenzyl 1-piperonyl-2-benzimidazolyl (8CI) (CA INDEX NAME)



L70 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:115646 CAPLUS
DOCUMENT NUMBER: 66:115646
TITLE: Formation of substituted benzimidazoles upon ring cleavage of piperidonedicarboxylic acid esters
AUTHOR(S): Mueller, Eberhard; Haller, Rolf
CORPORATE SOURCE: Univ. Freiburg/Br., Freiburg/Br., Fed. Rep. Ger.
SOURCE: Arzneimittel-Forschung (1967), 17(1), 55-7
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB The reaction of piperidonedicarboxylic acid esters (I), with C6H4(NH2)2-o (II) yielded in addition to 1,5-benzodiazepin-4-ones (CA 66, 18704e), 2-arylbenzimidazoles (III) and 1-arylmethyl-2-arylbenzimidazoles (IV), which were isolated by fractional crystallization and chromatog. Thus, 2 g. I (R = Ph, R1 = Et, R2 = Me) gave 1.1 g. III (R1 = Ph) and 0.3 g. IV (R1 = Ph). III and IV were also prepared by heating 0.05 mole II and RCHO in xylene (R, mole RCHO used, g. III obtained, m.p. III, g. IV obtained, and m.p. IV listed): Ph, 0.05, 3.7, 290°, 1.6, 133°; Ph, 0.1, 7.3, -, 1.8, -; 2-pyridyl, 0.1, 5.0, 221°, 2.3, 105°; 2-quinolyl, 0.05, 3.5, 220°, 3.1, 172°. III (R = 3-pyridyl), m. 252°.
IT **14191-60-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 14191-60-7 CAPLUS
CN Benzimidazole, 2-(2-quinolyl) 1-(2-quinolylmethyl)- (8CI) (CA INDEX NAME)